09/ 724,941 Supplemental

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 19	APOLLIT offering free connect time in April 2003
NEWS 28	Mar 20	EVENTLINE will be removed from STN
NEWS 29	Mar 24	PATDPAFULL now available on STN
NEWS 30	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 31	Apr 11	Display formats in DGENE enhanced
NEWS 32	Apr 14	MEDLINE Reload
NEWS 33	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 34	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 35	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:25:34 ON 24 APR 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:25:42 ON 24 APR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 APR 2003 HIGHEST RN 504385-01-7
DICTIONARY FILE UPDATES: 23 APR 2003 HIGHEST RN 504385-01-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

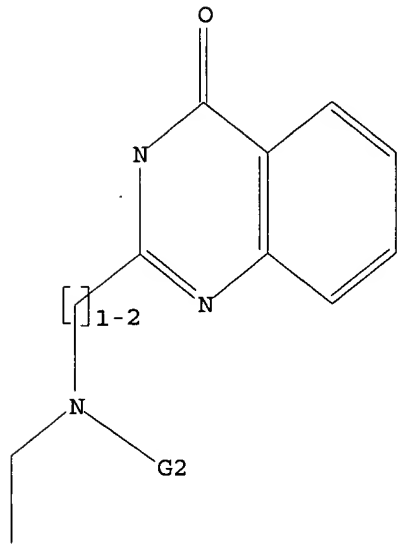
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09724941.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,Cy,Hy

G2 C,O,Cb,Hy

Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 10:26:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1921 TO ITERATE

 52.1% PROCESSED    1000 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:        35792 TO    41048
PROJECTED ANSWERS:           30714 TO    35598

L2            50 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 10:26:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  37889 TO ITERATE

100.0% PROCESSED   37889 ITERATIONS                      32530 ANSWERS
SEARCH TIME: 00.00.12

L3         32530 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               148.55     148.76

FILE 'CAPLUS' ENTERED AT 10:27:02 ON 24 APR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 24 Apr 2003 VOL 138 ISS 17
FILE LAST UPDATED: 23 Apr 2003 (20030423/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3/bio
'BIO' IS NOT A VALID CROSSOVER QUALIFIER FOR L3
Answer sets created in a different file may be field qualified with a limited set of qualifiers. Enter HELP CROSSOVER at an arrow prompt (=>) for specific information.

=> s l3/biol
47 L3
5387168 BIOL/RL
L4 21 L3/BIOL
(L3 (L) BIOL/RL)

=> d l4 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 21 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:202479 CAPLUS
DOCUMENT NUMBER: 138:231712
TITLE: Compositions and methods of treatment of cancer
INVENTOR(S): Bamdad, Cynthia C.
PATENT ASSIGNEE(S): Minerva Biotechnologies Corporation, USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020279	A2	20030313	WO 2002-US28576	20020905

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-317302P P 20010905
US 2002-376732P P 20020501

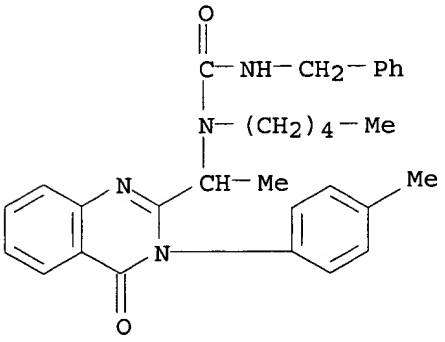
OTHER SOURCE(S): MARPAT 138:231712

AB This invention generally relates to compns. and methods for cancer treatment and, in particular, to compns. able to interact (e.g.,bind to) with MUC1 growth factor receptor or its ligands, and methods for treating the same. The invention also relates to assays or use of such compns. for the treatment of patients susceptible to or exhibiting symptoms characteristic of cancer or tumorigenesis. Other compns. of the present invention useful for the treatment or prevention of cancer or tumorigenesis include homologs, analogs, derivs., enantiomers or functional equiv. The present compns. can also be packaged in kits in some cases.

IT **334796-04-2 334804-57-8 334804-59-0 335423-20-6 501327-08-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(compns. and methods of treatment of cancer)

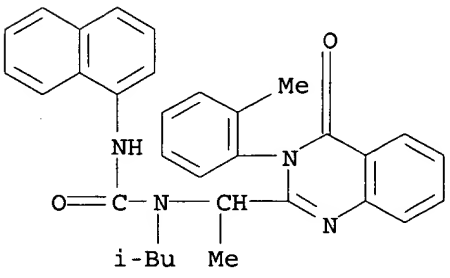
RN 334796-04-2 CAPLUS

CN Urea, N-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-pentyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



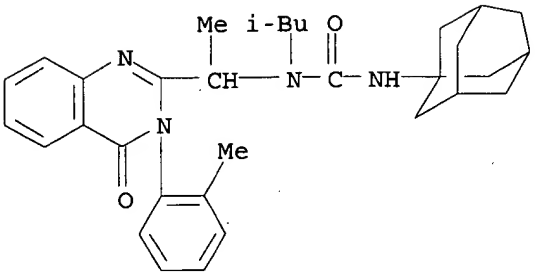
RN 334804-57-8 CAPLUS

CN Urea, N-[1-[3,4-dihydro-3-(2-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-methylpropyl)-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)

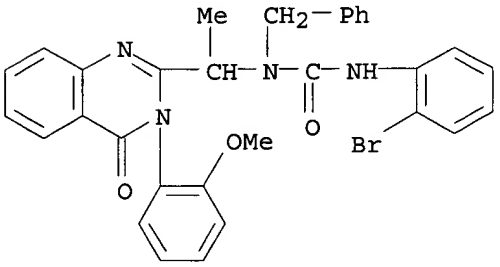


RN 334804-59-0 CAPLUS

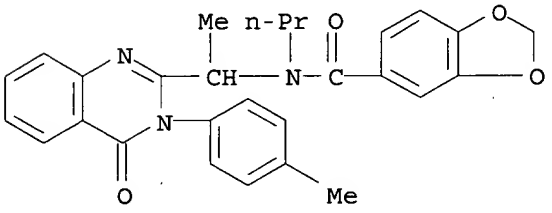
CN Urea, N-[1-[3,4-dihydro-3-(2-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-methylpropyl)-N'-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)



RN 335423-20-6 CAPLUS
CN Urea, N'-(2-bromophenyl)-N-[1-[3,4-dihydro-3-(2-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 501327-08-8 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-propyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:76556 CAPLUS
DOCUMENT NUMBER: 138:131125
TITLE: Fat accumulation-modulating compounds
INVENTOR(S): Stevenson, Michael John; Leighton, Harry Jefferson
PATENT ASSIGNEE(S): Adipogenix, Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007888	A2	20030130	WO 2002-US23295	20020722

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-306837P P 20010720
OTHER SOURCE(S): MARPAT 138:131125

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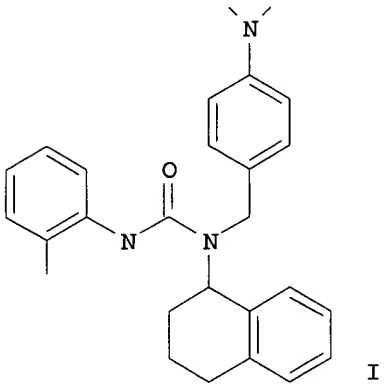


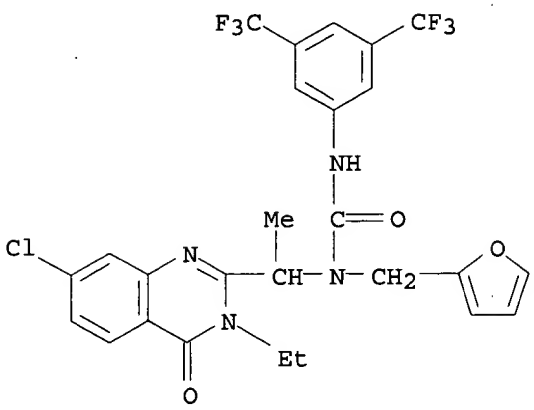
I

AB The present invention pertains to compds. effective at modulating fatty acid or triglyceride ("fat") accumulation by cells, such compds. having therapeutic potential as regulators of body mass and for the treatment of overweight individuals, obesity, and metabolic disorders. An example compd. is I and protocol for high-throughput screening of compd. efficacy on human preadipocytes is given. Therapeutic methods and pharmaceutical compns. featuring these compds. are also provided.

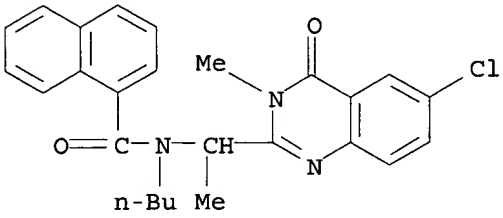
IT **290373-53-4 295350-81-1 335037-55-3 491868-27-0 491868-29-2 491868-61-2 491868-62-3 491868-63-4**
RL: THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(fat accumulation-modulating compds.)

RN 290373-53-4 CAPLUS

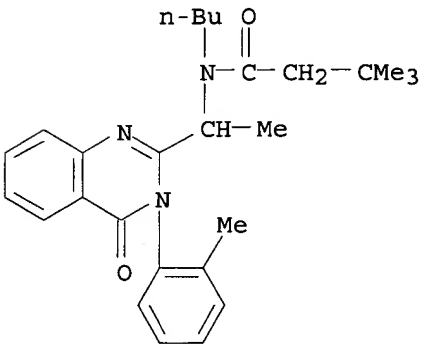
CN Urea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-[1-(7-chloro-3-ethyl-3,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(2-furanylmethyl)- (9CI) (CA INDEX NAME)



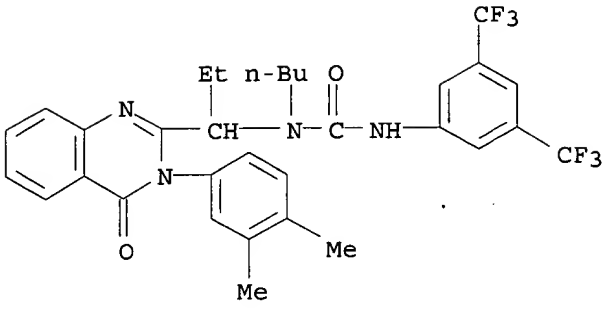
RN 295350-81-1 CAPLUS
CN 1-Naphthalenecarboxamide, N-butyl-N-[1-(6-chloro-3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)ethyl]- (9CI) (CA INDEX NAME)



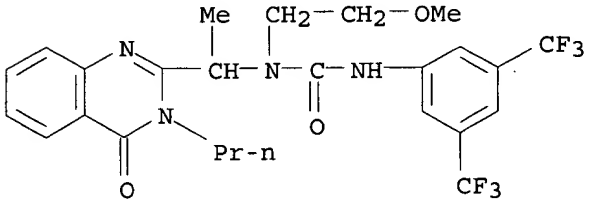
RN 335037-55-3 CAPLUS
CN Butanamide, N-butyl-N-[1-[3,4-dihydro-3-(2-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)



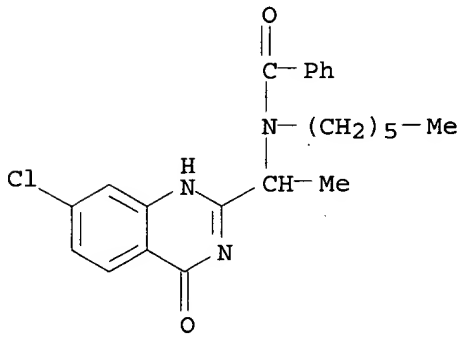
RN 491868-27-0 CAPLUS
CN Urea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-butyl-N-[1-[3-(3,4-dimethylphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]propyl]- (9CI) (CA INDEX NAME)



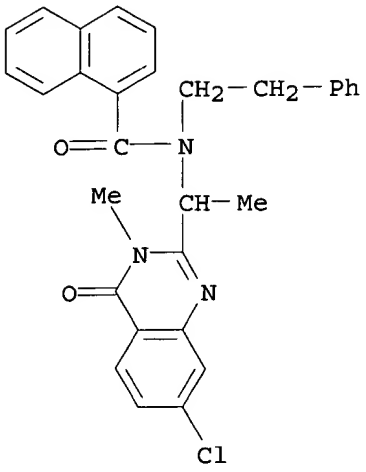
RN 491868-29-2 CAPLUS
CN Urea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-[1-(3,4-dihydro-4-oxo-3-propyl-2-quinazolinyl)ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



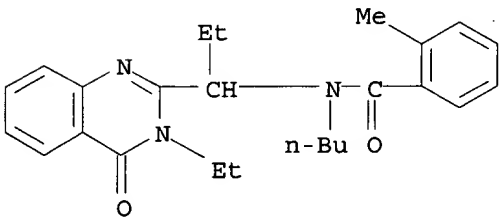
RN 491868-61-2 CAPLUS
CN Benzamide, N-[1-(7-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-hexyl- (9CI) (CA INDEX NAME)



RN 491868-62-3 CAPLUS
CN 1-Naphthalenecarboxamide, N-[1-(7-chloro-3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)ethyl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 491868-63-4 CAPLUS
CN Benzamide, N-butyl-N-[1-(3-ethyl-3,4-dihydro-4-oxo-2-quinazolinyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:906165 CAPLUS
DOCUMENT NUMBER: 138:4615
TITLE: Preparation of fused heterocyclic compounds such as 2H-isoquinolin-1-one and 3H-quinazolin-4-one derivatives as poly(ADP-ribose) polymerase inhibitors and medicinal use thereof
INVENTOR(S): Fujio, Masakazu; Satoh, Hiroyuki; Numata, Atushi; Takanashi, Shinichi; Egi, Yasuhiro; Tatsumi, Ryou
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 298 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094790	A1	20021128	WO 2002-JP4995	20020523

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 2001-154571 A 20010523
OTHER SOURCE(S): MARPAT 138:4615
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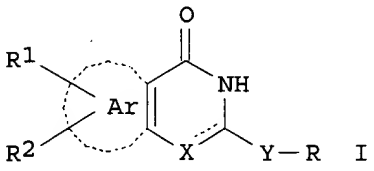
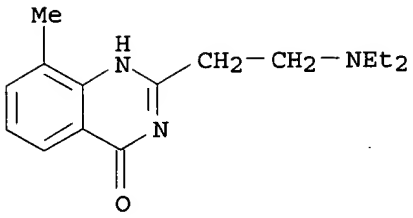


I

AB Fused heterocyclic compds. represented by the general formula (I) [wherein the solid line accompanied by a dotted line represents a single or double bond; the ring Ar = benzene, naphthalene, or arom. heterocyclic ring; X = N, NH, CH, or CH2 optionally substituted by alkyl or each (un)substituted arom. heterocyclyl or Ph; Y = (CH2)m, (CH2)m-NR4-CO-(CH2)n, (CH2)m-CO-NR4-(CH2)n, (CH2)m-CO2-(CH2)n, (CH2)m-O2C-(CH2)n, (CH2)m-O-(CH2)n, (CH2)m-O-(CH2)n, (CH2)m-CO-(CH2)m (wherein = an integer of 1-10; R4 = H, alkyl; provided that (CH2)m is linked to the parent nucleus); R1, R2 = H, halo, alkyl, alkoxy, haloalkyl, HO, NH2, dialkylamino, NO2, cyano, acyl, CO2H, ester, CONH2, N-monoalkyl- or N,N-dialkylcarbamoyl, acylamino, diacylamino, SH, alkylthio, alkoxycarbonylamino, SO2NH2, N-monoalkyl- or N,N-dialkylsulfamoyl, alkyloxyalkyloxy; R = NH2, mono- or dialkylamino, morpholino, or thiomorpholino, heterocyclyl, etc.], optically active isomers thereof, pharmaceutically acceptable salt of any of these, hydrate of any of these, and water addn. product of any of these are prepd. These compds. have poly(ADP-ribose) polymerase inhibitory activity and are useful for the prevention and/or treatment of diseases caused by hyperactivity poly(ADP-ribose) polymerase (PARP), in particular brain infarction. Particularly they are used in an acute stage of brain infarction. Thus, 3.0 g 5-methoxymethoxy-3-(1-methylpiperidin-4-yl)-2H-isoquinolin-1-one was dissolved in 30 mL MeOH and treated with 3 mL 30% HCl/MeOH at room temp. to give 5-hydroxy-3-(1-methylpiperidin-4-yl)-2H-isoquinolin-1-one (II). II in vitro showed IC50 of 12 nM against human PARP. Two I compds. tested did not exhibit affinity with adrenaline receptor .alpha.1 and thereby does show hypotensive activity. 12 I compds. including II showed IC50 of 0.070-0.24 .mu.M for suppressing H2O2-induced damage on P388D1 cell. A tablet formulation contg. 5-methyl-3-(4-methylpiperazin-1-yl)-2H-isoquinolin-1-one was described.

IT **476491-86-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of fused heterocyclic compds. such as 2H-isoquinolinone and 3H-quinazolinone derivs. as poly(ADP-ribose) polymerase inhibitors for prevention or treatment of brain infarction)

RN 476491-86-8 CAPLUS
CN 4(1H)-Quinazolinone, 2-[2-(diethylamino)ethyl]-8-methyl- (9CI) (CA INDEX NAME)

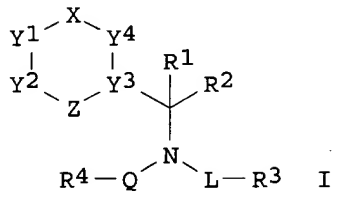


REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

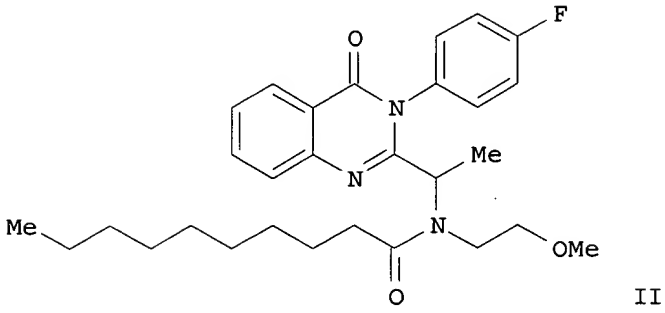
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:813938 CAPLUS
DOCUMENT NUMBER: 137:337907
TITLE: Preparation of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions
INVENTOR(S): Medina, Julio C.; Johnson, Michael G.; Li, An-Rong; Liu, Jiwen; Huang, Alan Xi; Zhu, Liusheng; Marcus, Andrew P.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083143	A1	20021024	WO 2001-US47850	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002169159	A1	20021114	US 2001-15532	20011211
US 2003069234	A1	20030410	US 2002-164690	20020606
US 2003055054	A1	20030320	US 2002-231895	20020829

PRIORITY APPLN. INFO.: US 2000-255241P P 20001211
US 2001-296499P P 20010606
US 2001-15532 A1 20011211
OTHER SOURCE(S): MARPAT 137:337907
GI



I

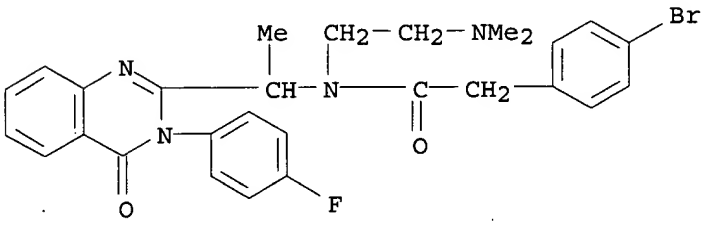


II

AB Title compds. I [wherein X = a bond, CO, CR5R6, CR5:, SO, SO2, or N: ; Z = a bond, N:, O, S, NR17, or CR7: ; with the proviso that X and Z are not both a bond; L = CO-alkylene or (hetero)alkylene; Q = (hetero)alkylene, CO, OCO, NR8CO, CH2CO, CH2SO, or CH2SO2; or NLQ = heterocyclyl; R1 and R2 = independently H, (hetero)alkyl, or (hetero)aryl; or CR1R2 = (hetero)cyclyl; or CNR2L = heterocyclyl; R3 = OH, alkoxy, NH2, (di)alkylamino, heteroalkyl, heterocyclyl, acylaminoamidino, guanidino, ureido, CN, heteroaryl, carbamoyl, or carboxy; R4 = (hetero)alkyl, (hetero)aryl, etc.; R5 and R6 = independently H, (hetero)alkyl, or (hetero)aryl; or CR5R6 = a ring; R7 and R8 = independently H, (hetero)alkyl, or (hetero)aryl; Y1 and Y2 = independently CR12: N:, O, S, or NR13; Y3 = N or C, wherein C shares a double bond with either Z or Y4; Y4 = NR14, CR14:, N:, NR14CR15R16; R12 = H, halo, OH, NH2, (di)alkylamino, (hetero)alkyl, or (hetero)aryl, with provisos; R13 = H, (hetero)alkyl, (hetero)aryl, etc.; R14 = (hetero)alkyl, (hetero)aryl, etc.; R15 and R16 = independently H or (hetero)alkyl; R17 = H, (hetero)alkyl, (hetero)aryl, etc.; with provisos] were prepd. as chemokine receptor modulators, in particular CXCR3 antagonists. For example, anthranilic acid was acylated with propionyl chloride and the amide cyclized using acetic anhydride to give 2-ethylbenzo[d][1,3]oxazine-4-one. Treatment with 4-fluoroaniline, followed by ethylene glycol and NaOH afforded 2-ethyl-3-(4-fluorophenyl)-3H-quinazolin-4-one. Bromination and stepwise addn. of 1-amino-2-methoxyethane and decanoyl chloride produced the decanoic acid (quinazolinylethyl)(methoxyethyl)amide II. Approx. one third of the 101 invention compds. tested in a CXCR3 binding assay displayed activity with IC50 values of < 1 .mu.M. I are useful for the treatment of inflammatory and immunoregulatory disorders and diseases, such as multiple sclerosis, rheumatoid arthritis, and type I diabetes (no data).

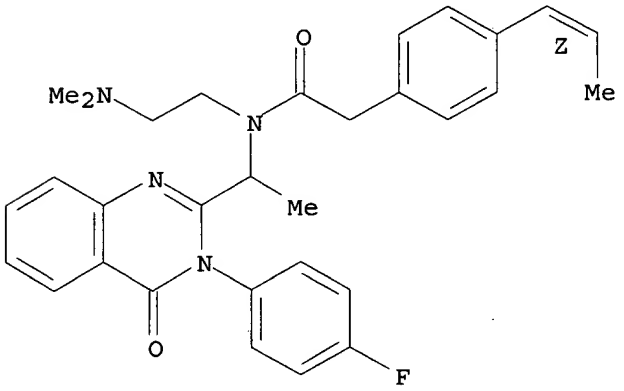
IT **473718-36-4P 473718-37-5P 473718-38-6P**
473718-43-3P 473718-64-8P 473718-66-0P
473718-99-9P 473719-04-9P 473719-10-7P
473719-12-9P 473719-16-3P 473719-21-0P
473719-57-2P 473720-62-6P 473907-65-2P, T
0913409
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(CXCR3 antagonist; prepn. of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions)

RN 473718-36-4 CAPLUS
CN Benzeneacetamide, 4-bromo-N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



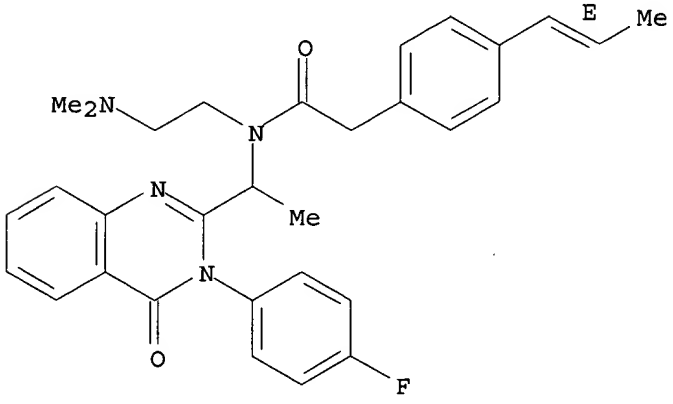
RN 473718-37-5 CAPLUS
CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(1Z)-1-propenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



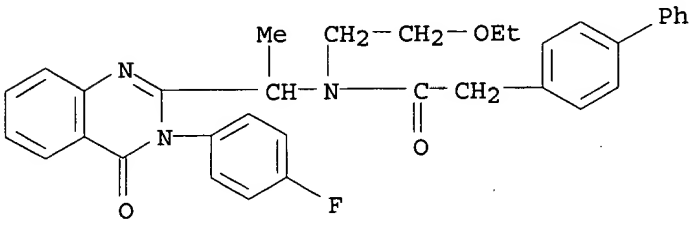
RN 473718-38-6 CAPLUS
CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(1E)-1-propenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

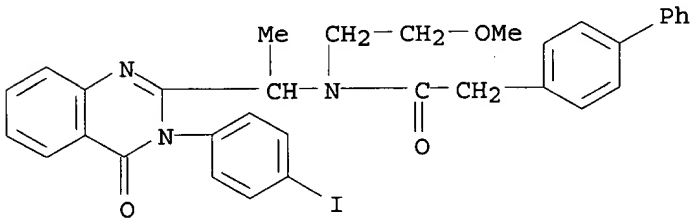


RN 473718-43-3 CAPLUS

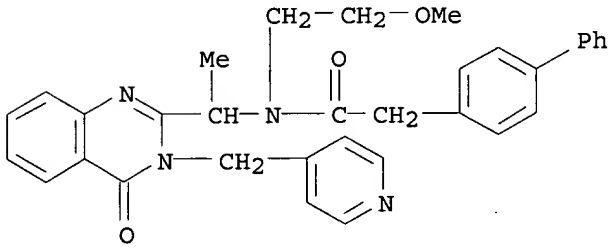
CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 473718-64-8 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-3-(4-iodophenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

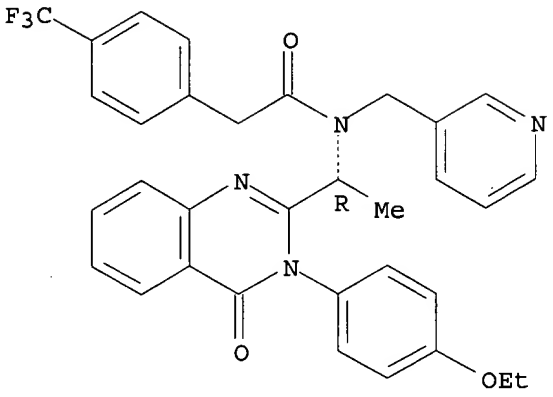


RN 473718-66-0 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-4-oxo-3-(4-pyridinylmethyl)-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

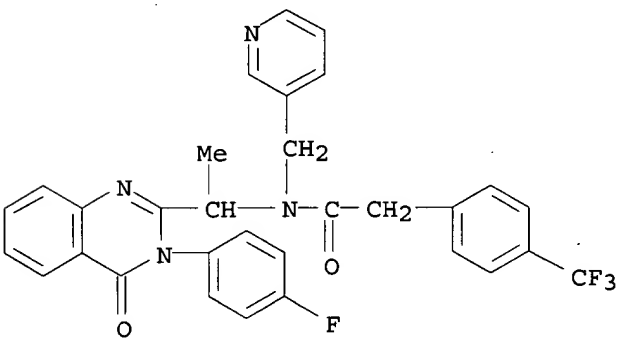


RN 473718-99-9 CAPLUS
CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

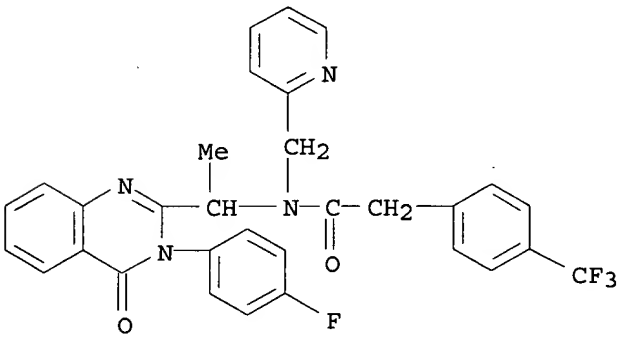
Absolute stereochemistry.



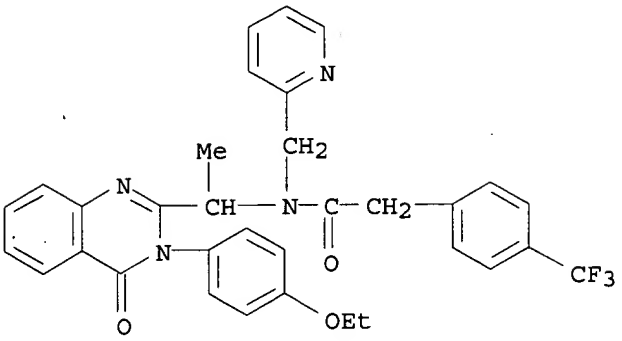
RN 473719-04-9 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473719-10-7 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

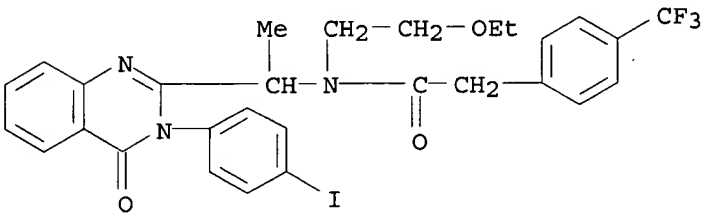


RN 473719-12-9 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)-4-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

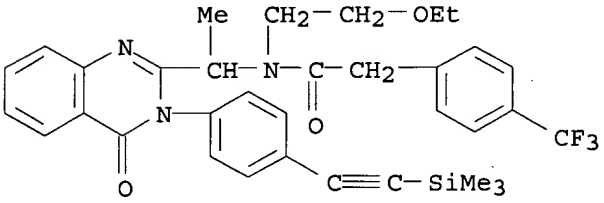


● HCl

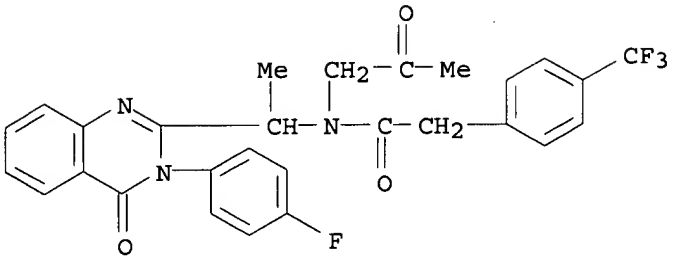
RN 473719-16-3 CAPLUS
CN Benzeneacetamide, N-[1-[3,4-dihydro-3-(4-iodophenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



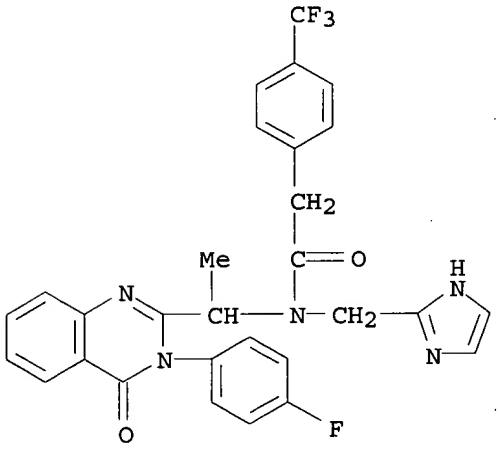
RN 473719-21-0 CAPLUS
CN Benzeneacetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-[(trimethylsilyl)ethynyl]phenyl]-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



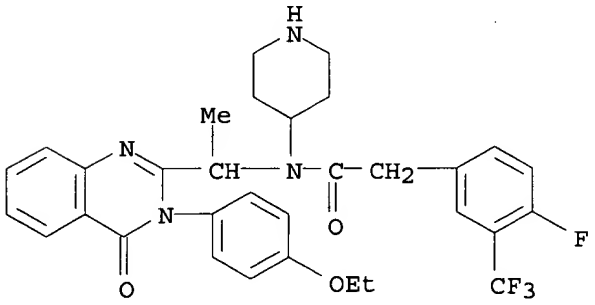
RN 473719-57-2 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-oxopropyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473720-62-6 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(1H-imidazol-2-ylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473907-65-2 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-4-piperidinyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



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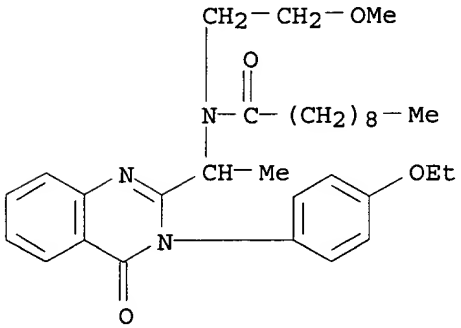
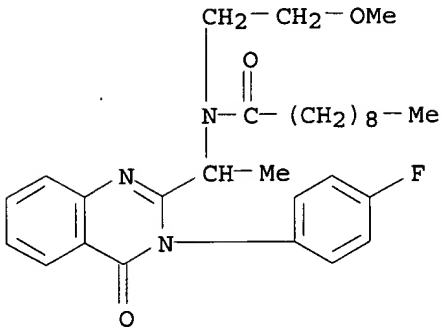
473720-76-2P 473722-66-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(CXCR3 antagonist; prepn. of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions)

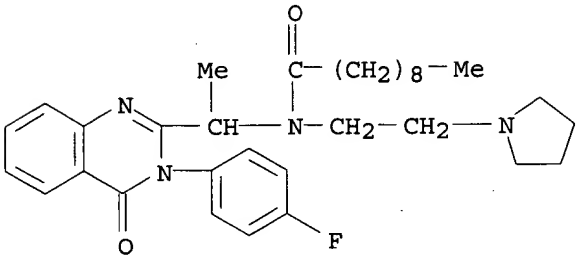
RN 335604-30-3 CAPLUS
CN Decanamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



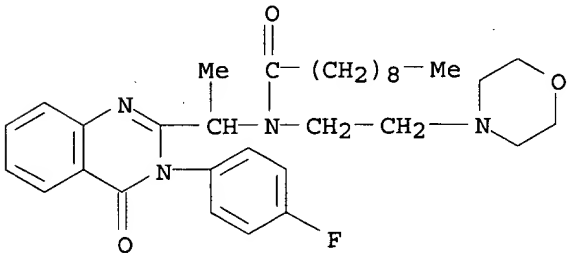
RN 473718-25-1 CAPLUS
CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



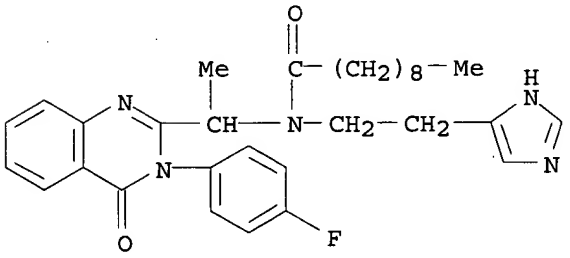
RN 473718-26-2 CAPLUS
CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



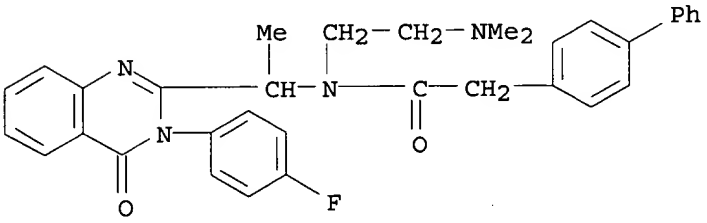
RN 473718-27-3 CAPLUS
CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



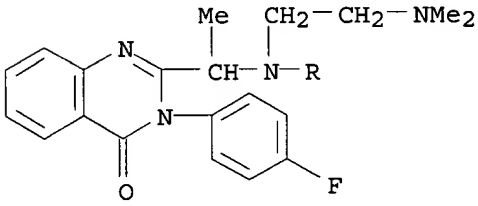
RN 473718-28-4 CAPLUS
CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

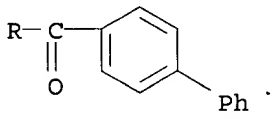


RN 473718-29-5 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

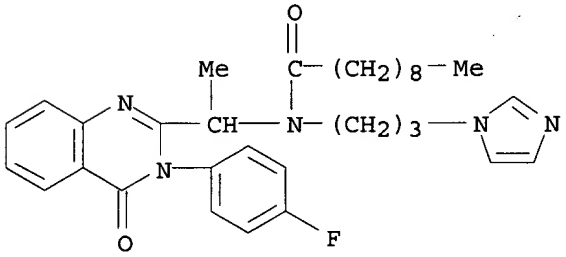


RN 473718-30-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

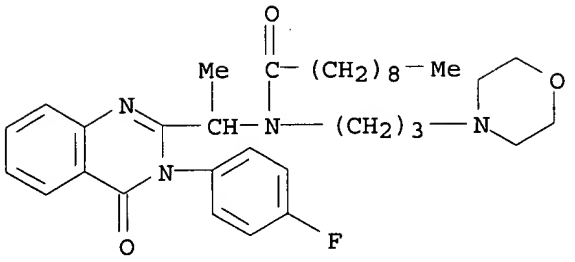




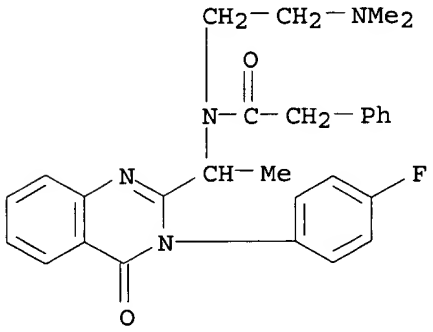
RN 473718-31-9 CAPLUS
CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)



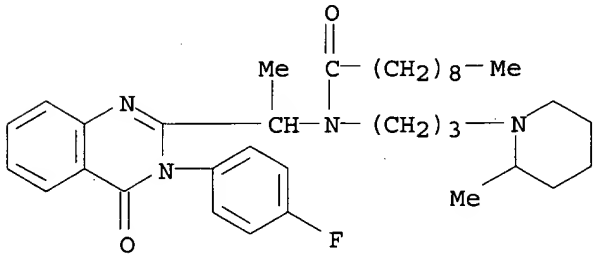
RN 473718-32-0 CAPLUS
CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



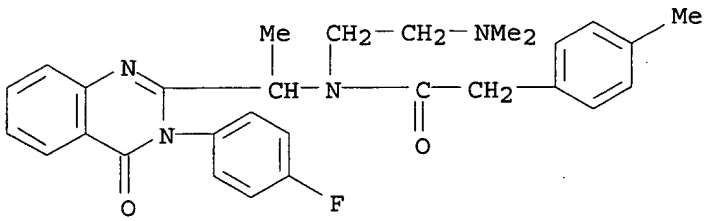
RN 473718-33-1 CAPLUS
CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



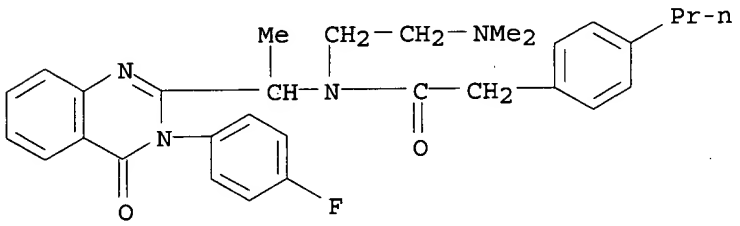
RN 473718-34-2 CAPLUS
CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[3-(2-methyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



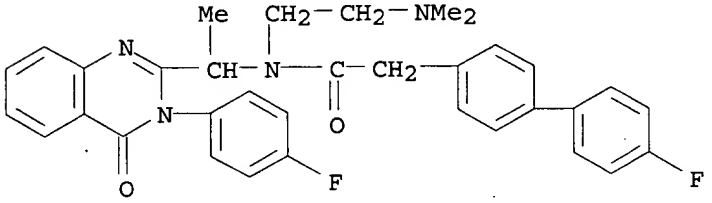
RN 473718-35-3 CAPLUS
CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)



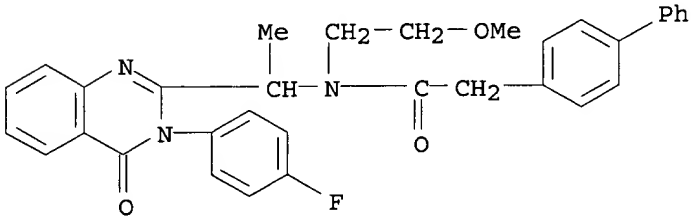
RN 473718-39-7 CAPLUS
CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-propyl- (9CI) (CA INDEX NAME)



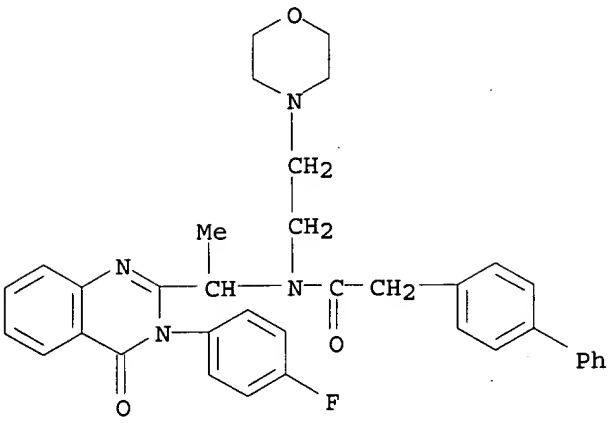
RN 473718-40-0 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[2-(dimethylamino)ethyl]-4'-fluoro-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



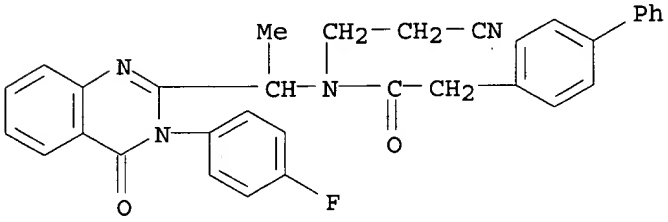
RN 473718-41-1 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



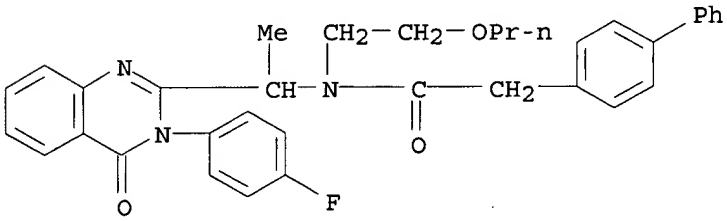
RN 473718-42-2 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



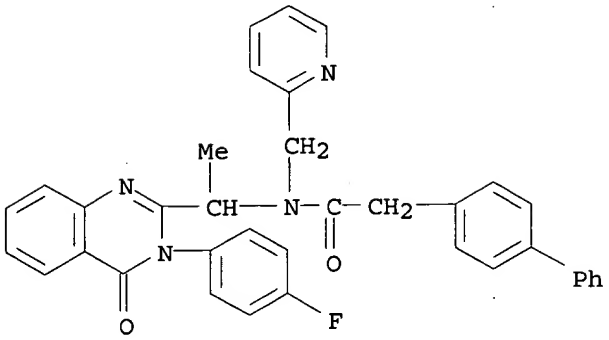
RN 473718-44-4 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(2-cyanoethyl)-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



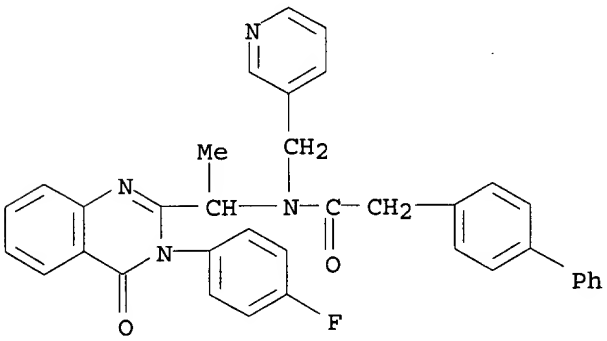
RN 473718-45-5 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-propoxyethyl)- (9CI) (CA INDEX NAME)



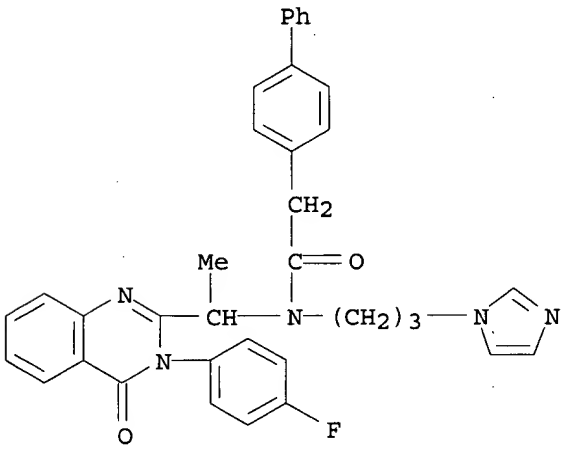
RN 473718-46-6 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



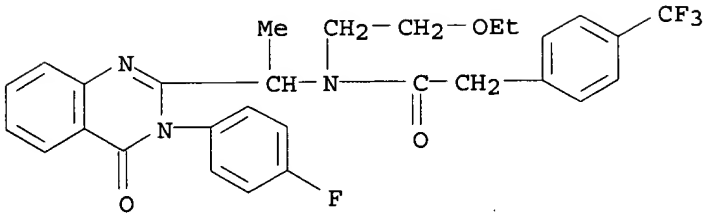
RN 473718-47-7 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



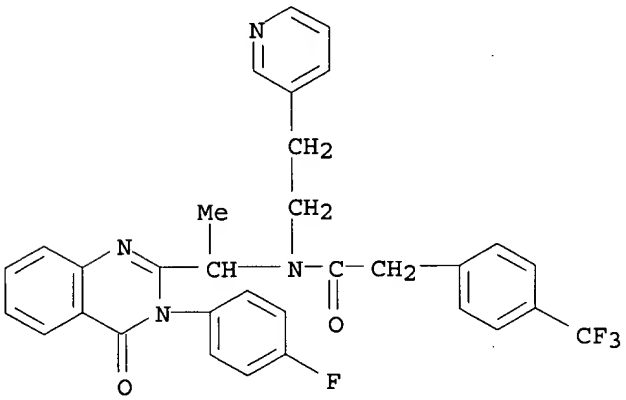
RN 473718-48-8 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)



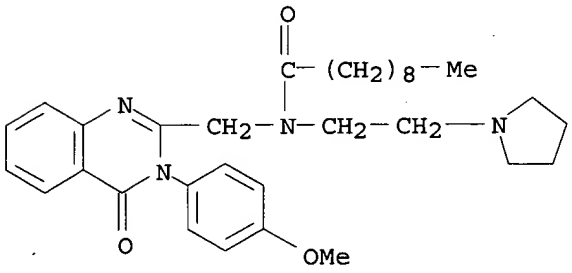
RN 473718-50-2 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



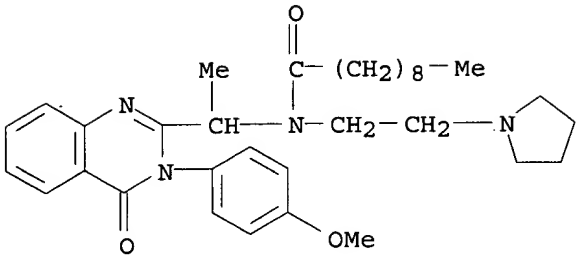
RN 473718-51-3 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(3-pyridinyl)ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



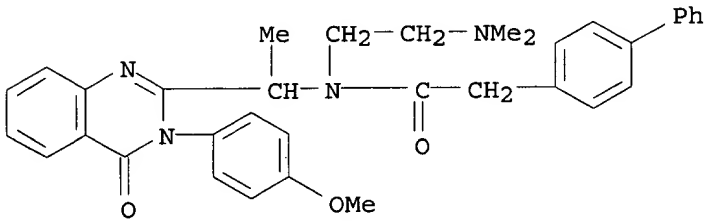
RN 473718-52-4 CAPLUS
CN Decanamide, N-[[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]methyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



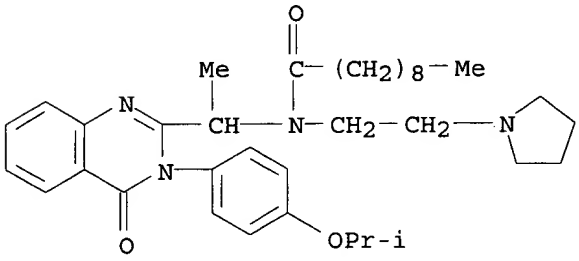
RN 473718-53-5 CAPLUS
CN Decanamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



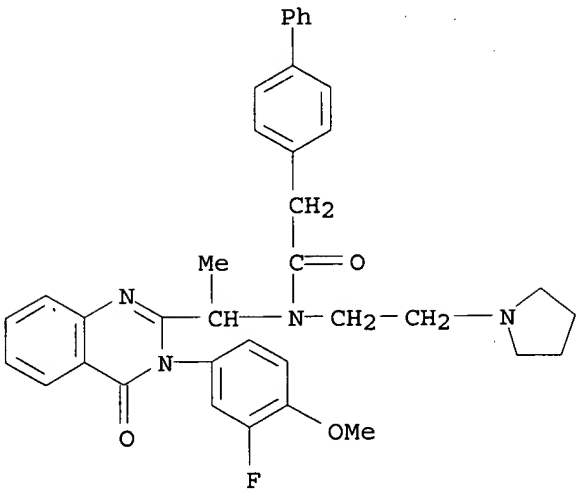
RN 473718-54-6 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



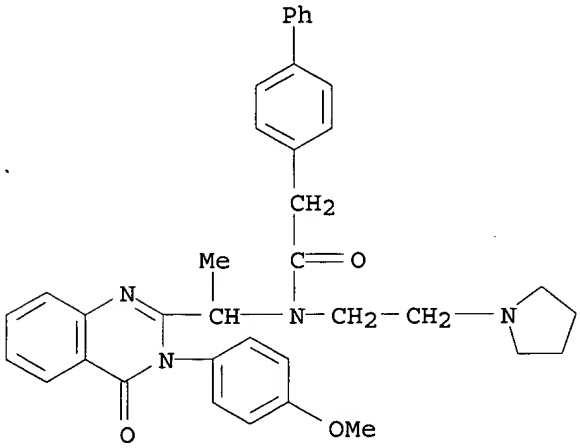
RN 473718-55-7 CAPLUS
CN Decanamide, N-[1-[3,4-dihydro-3-[4-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



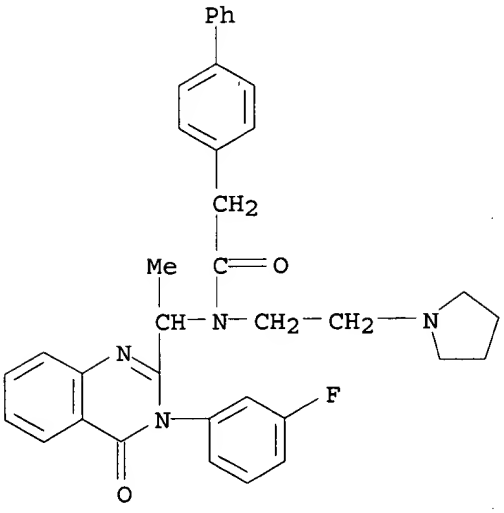
RN 473718-56-8 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(3-fluoro-4-methoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



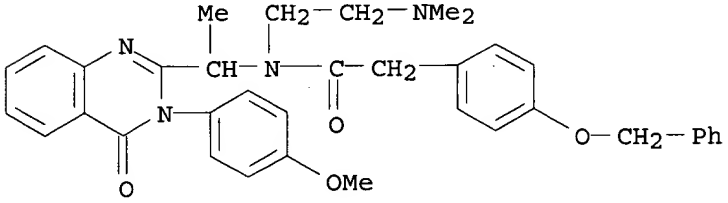
RN 473718-57-9 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



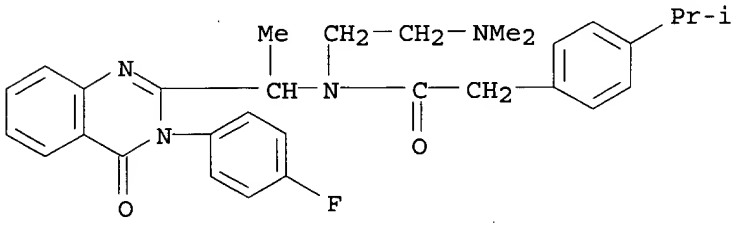
RN 473718-58-0 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(3-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



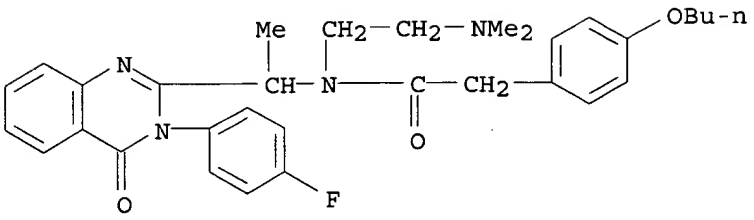
RN 473718-59-1 CAPLUS
CN Benzeneacetamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



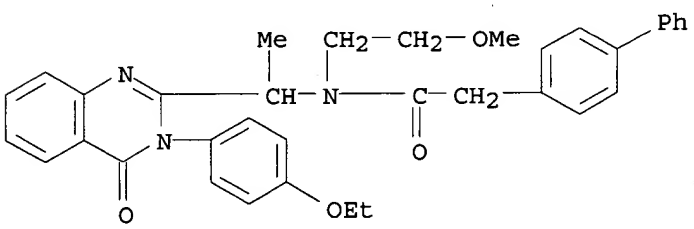
RN 473718-60-4 CAPLUS
CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



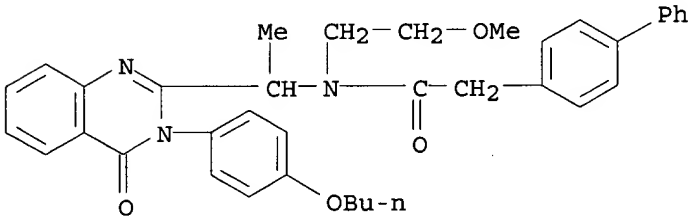
RN 473718-61-5 CAPLUS
CN Benzeneacetamide, 4-butoxy-N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



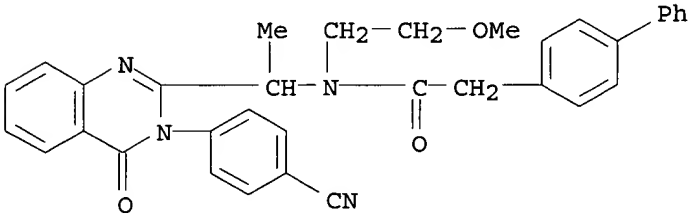
RN 473718-62-6 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



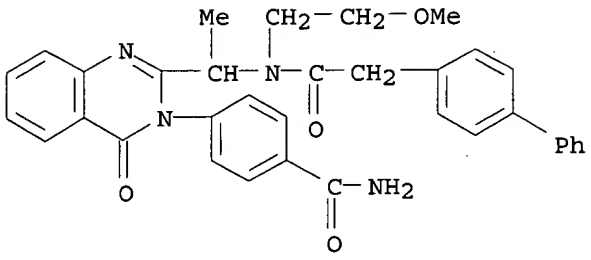
RN 473718-63-7 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-butoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



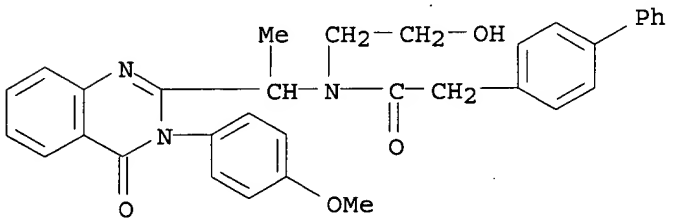
RN 473718-65-9 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



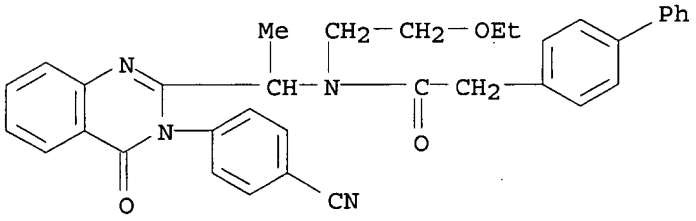
RN 473718-67-1 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-[4-(aminocarbonyl)phenyl]-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



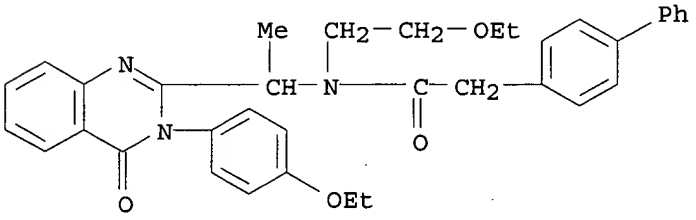
RN 473718-68-2 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



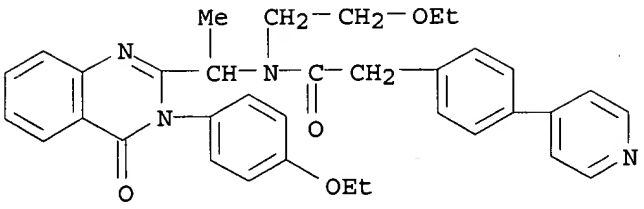
RN 473718-69-3 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



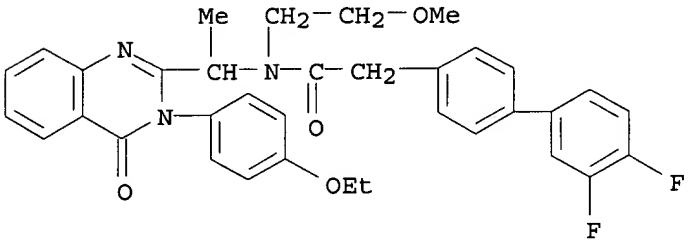
RN 473718-70-6 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



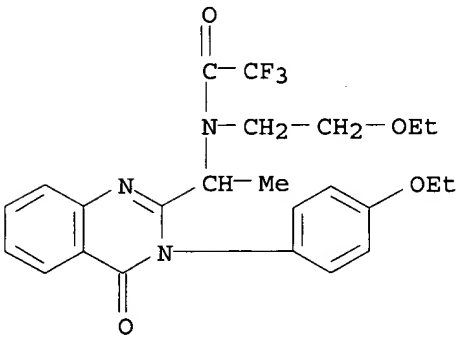
RN 473718-72-8 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



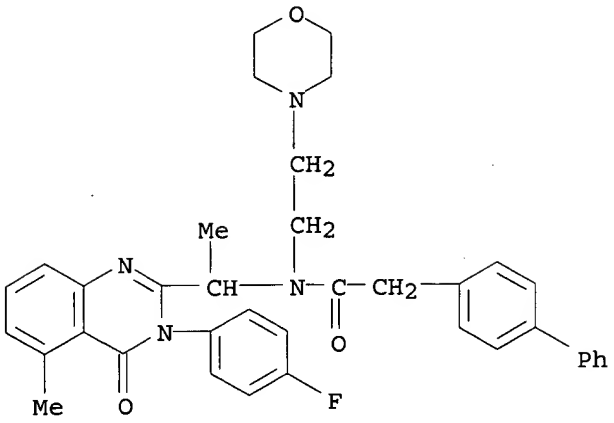
RN 473718-73-9 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3',4'-difluoro-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



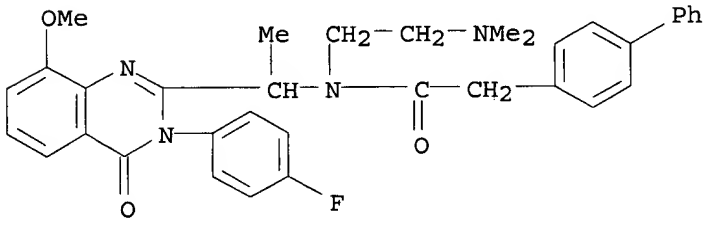
RN 473718-75-1 CAPLUS
CN Acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



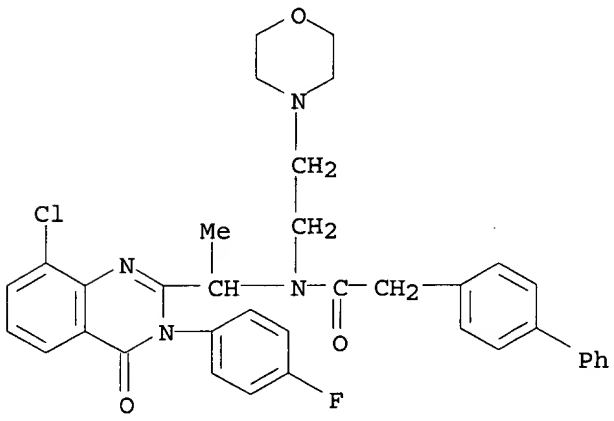
RN 473718-76-2 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



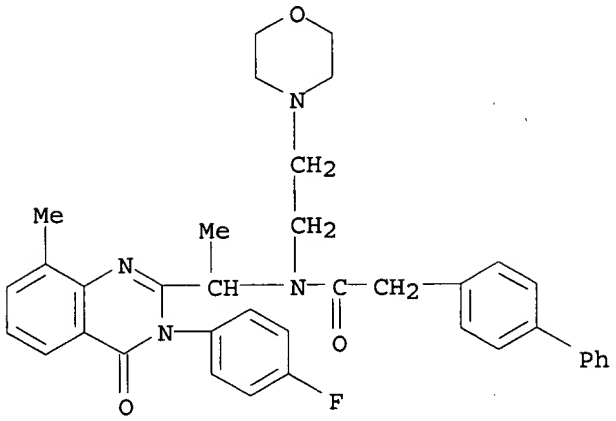
RN 473718-78-4 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-8-methoxy-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



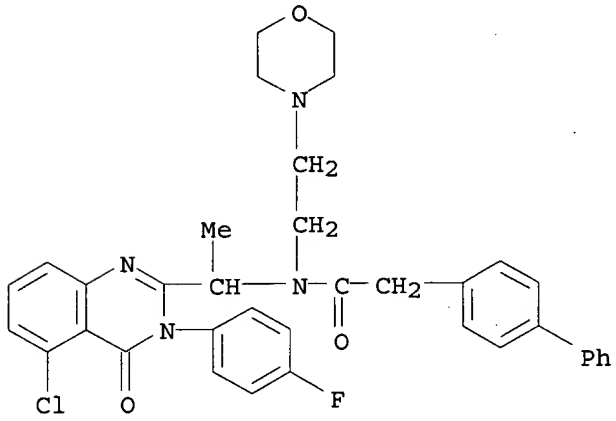
RN 473718-79-5 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[8-chloro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



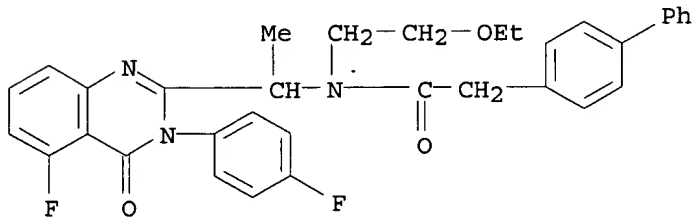
RN 473718-81-9 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-8-methyl-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



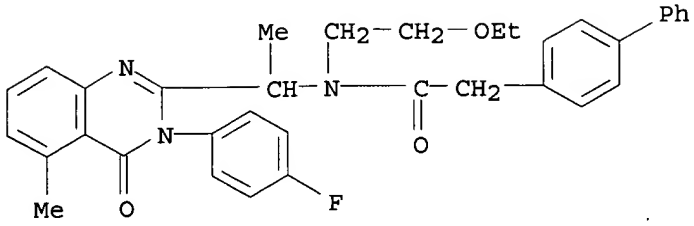
RN 473718-83-1 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[5-chloro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



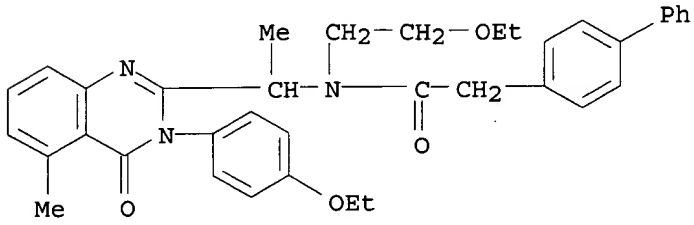
RN 473718-85-3 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[5-fluoro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



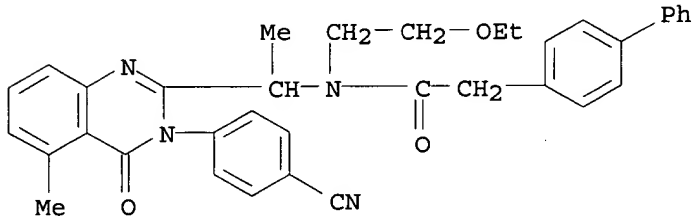
RN 473718-87-5 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



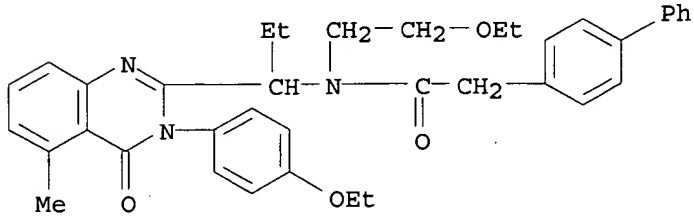
RN 473718-89-7 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



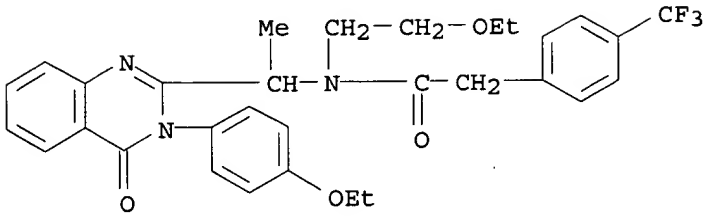
RN 473718-91-1 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



RN 473718-95-5 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]propyl]- (9CI) (CA INDEX NAME)

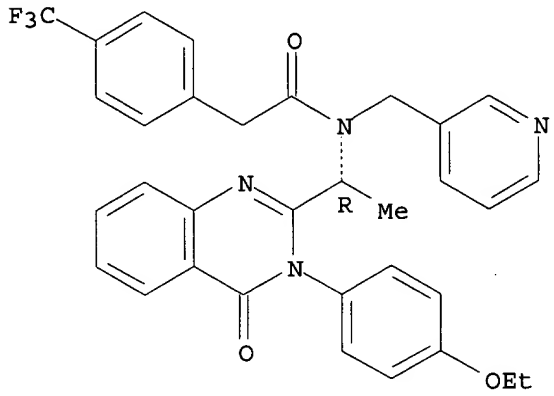


RN 473718-97-7 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



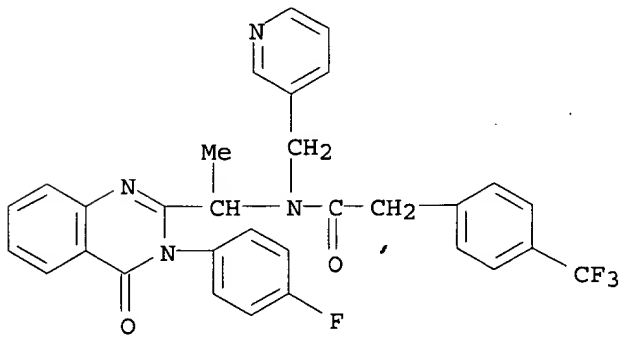
RN 473719-01-6 CAPLUS
CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



○ HCl

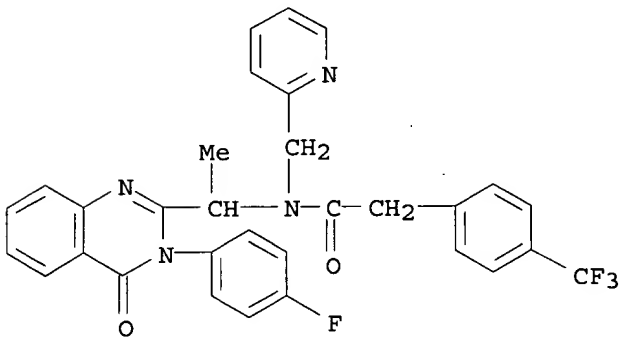
RN 473719-06-1 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

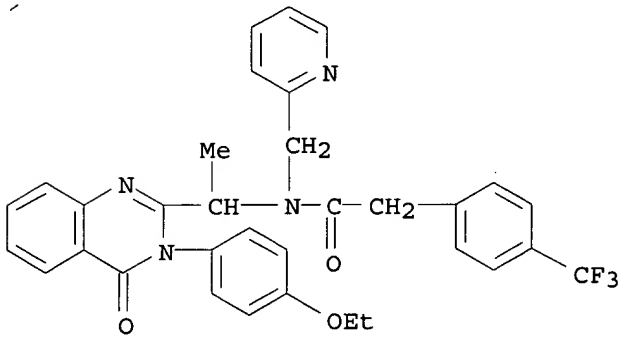
RN 473719-08-3 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)-4-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

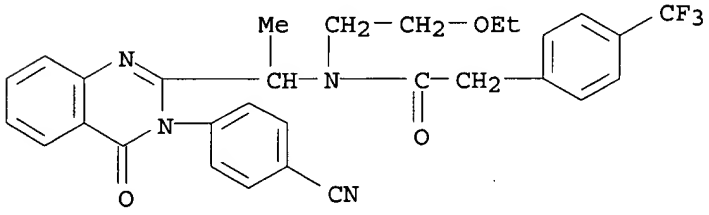


O HCl

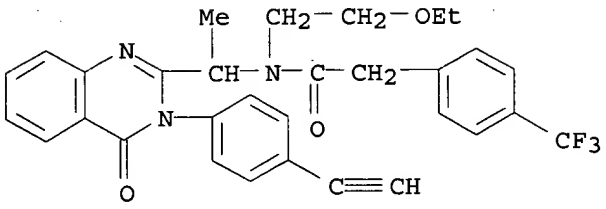
RN 473719-14-1 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



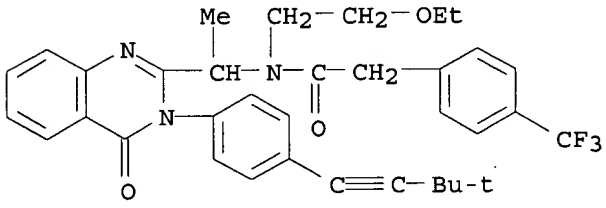
RN 473719-19-6 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



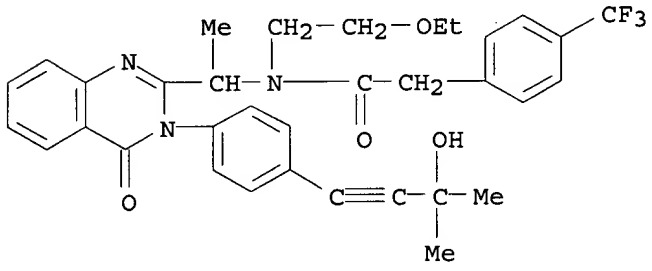
RN 473719-23-2 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethynylphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



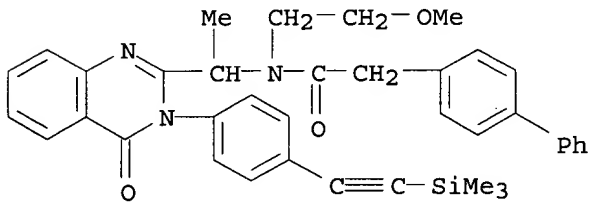
RN 473719-25-4 CAPLUS
CN Benzeneacetamide, N-[1-[3-[4-(3,3-dimethyl-1-butynyl)phenyl]-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



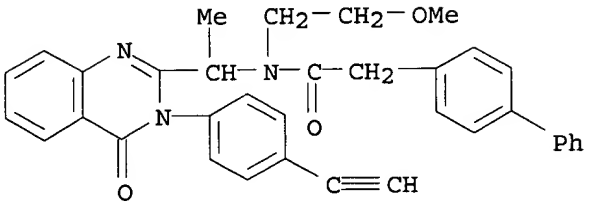
RN 473719-27-6 CAPLUS
CN Benzeneacetamide, N-[1-[3,4-dihydro-3-[4-(3-hydroxy-3-methyl-1-butynyl)phenyl]-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



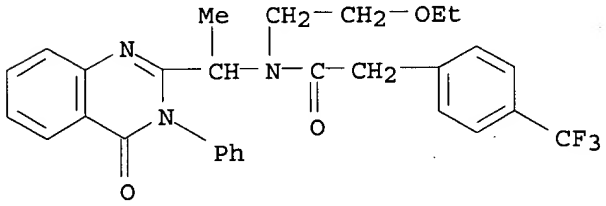
RN 473719-29-8 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-[(trimethylsilyl)ethynyl]phenyl]-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



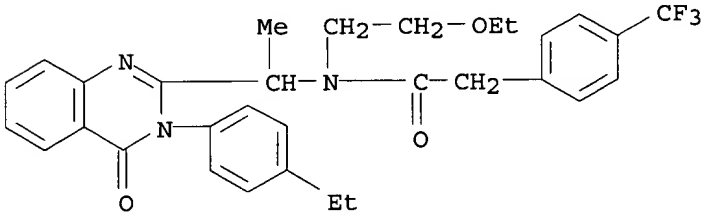
RN 473719-31-2 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-ethynylphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



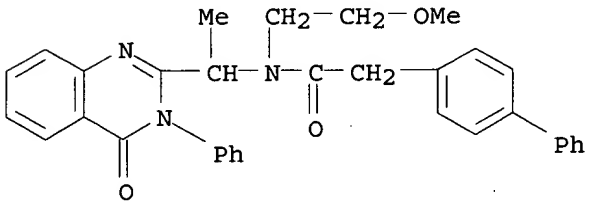
RN 473719-33-4 CAPLUS
CN Benzeneacetamide, N-[1-(3,4-dihydro-4-oxo-3-phenyl-2-quinazolinyl)ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



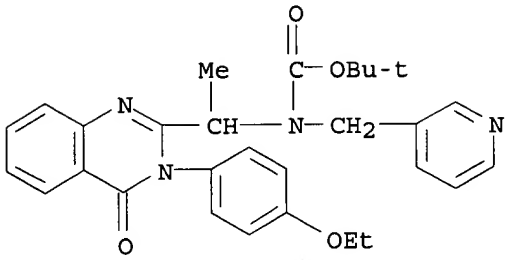
RN 473719-35-6 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethylphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473719-37-8 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-(3,4-dihydro-4-oxo-3-phenyl-2-quinazolinyl)ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

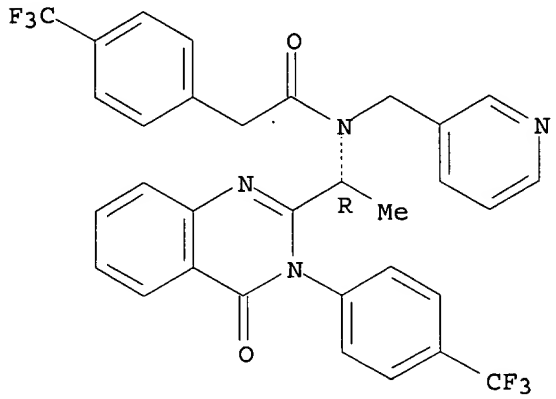


RN 473719-39-0 CAPLUS
CN Carbamic acid, [1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl](3-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



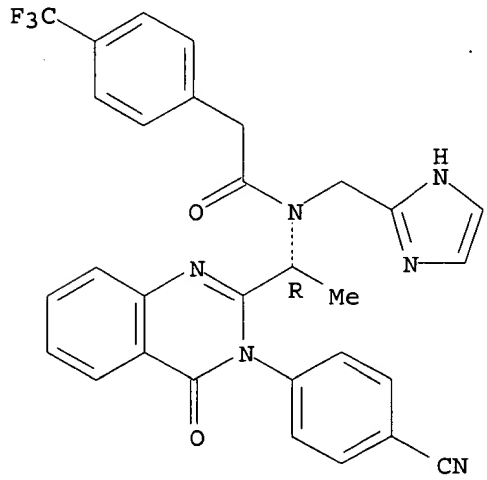
RN 473719-47-0 CAPLUS
CN Benzeneacetamide, N-[(1R)-1-[3,4-dihydro-4-oxo-3-[4-(trifluoromethyl)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 473719-51-6 CAPLUS
CN Benzeneacetamide, N-[(1R)-1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(1H-imidazol-2-ylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

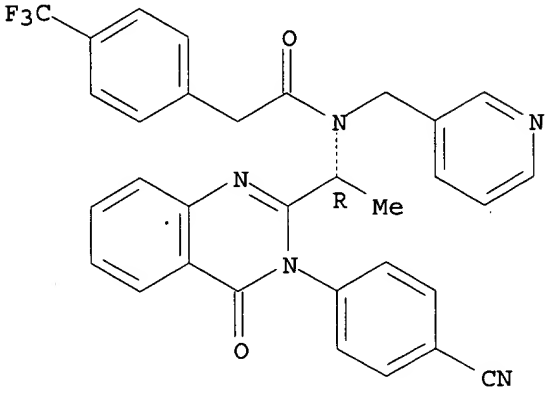
Absolute stereochemistry.



RN 473719-53-8 CAPLUS
CN Benzeneacetamide, N-[(1R)-1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-

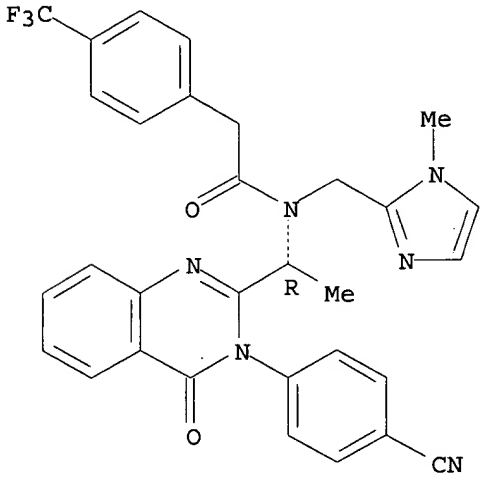
quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

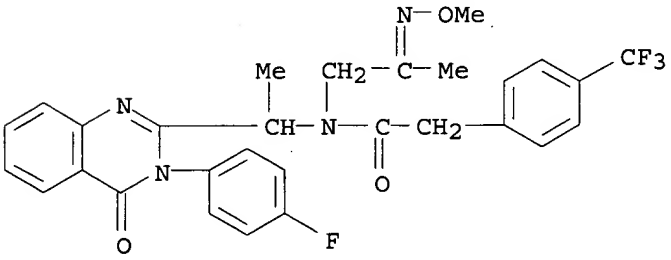


RN 473719-55-0 CAPLUS
CN Benzeneacetamide, N-[(1R)-1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

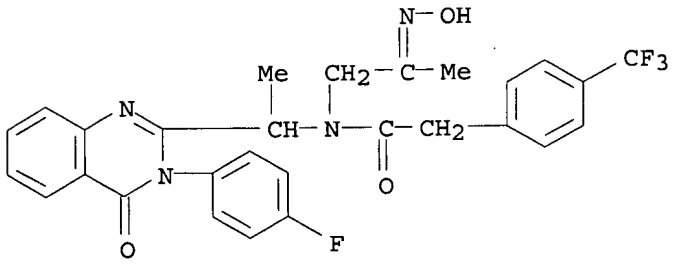
Absolute stereochemistry.



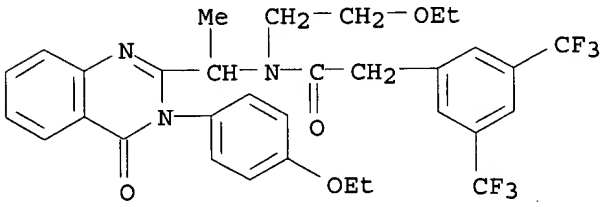
RN 473719-60-7 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(methoxyimino)propyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



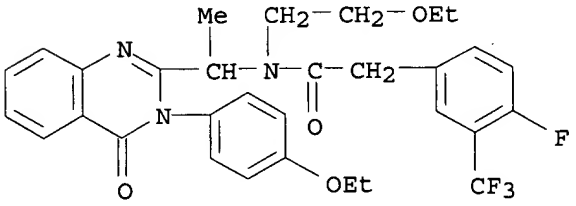
RN 473719-63-0 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(hydroxyimino)propyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



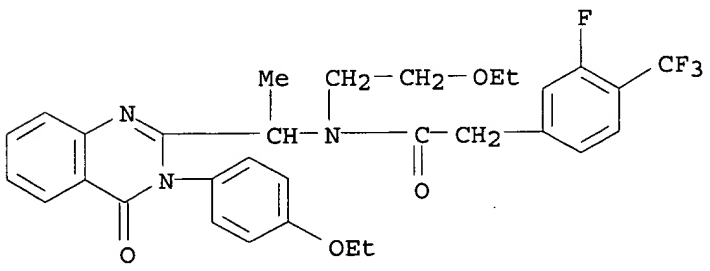
RN 473719-66-3 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



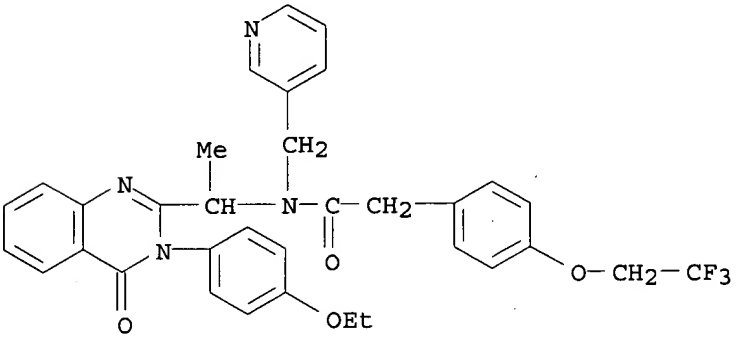
RN 473719-69-6 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



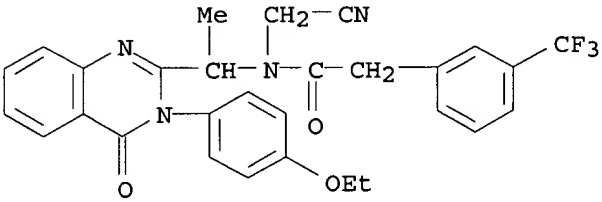
RN 473719-71-0 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



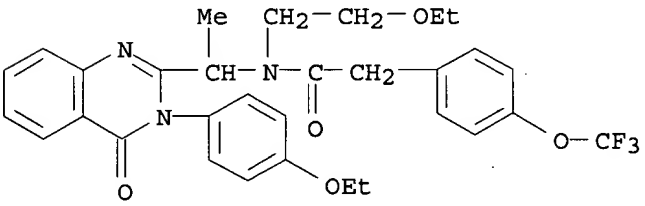
RN 473719-73-2 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(2,2,2-trifluoroethoxy)- (9CI) (CA INDEX NAME)



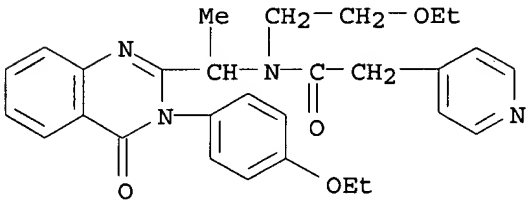
RN 473719-75-4 CAPLUS
CN Benzeneacetamide, N-(cyanomethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



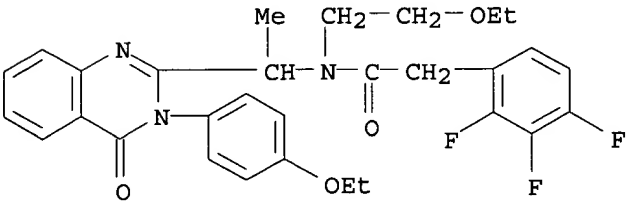
RN 473719-77-6 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



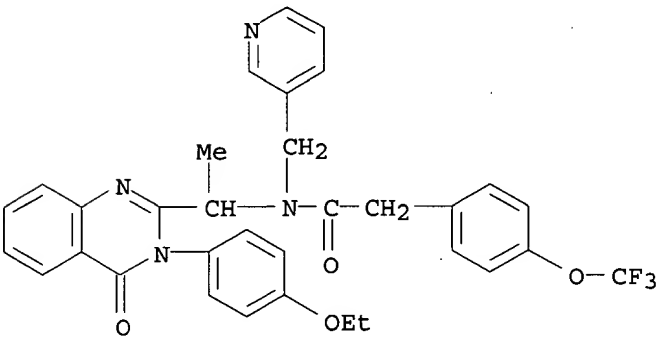
RN 473719-80-1 CAPLUS
CN 4-Pyridineacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



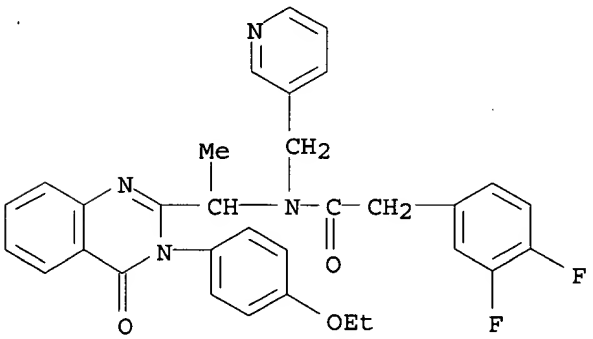
RN 473719-84-5 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-2,3,4-trifluoro- (9CI) (CA INDEX NAME)



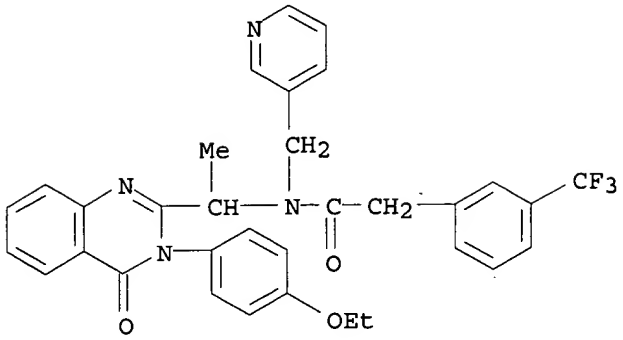
RN 473719-87-8 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



RN 473719-88-9 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3,4-difluoro-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

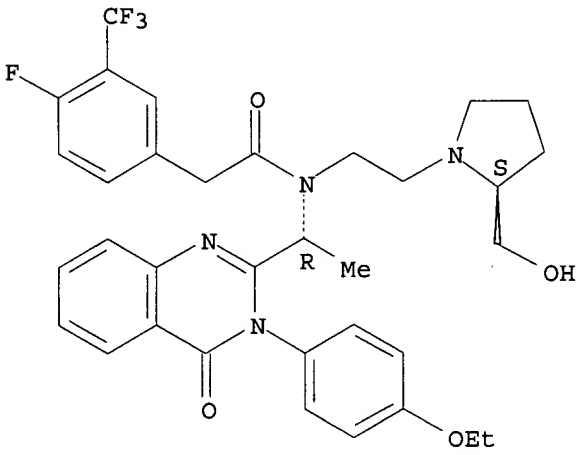


RN 473719-89-0 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

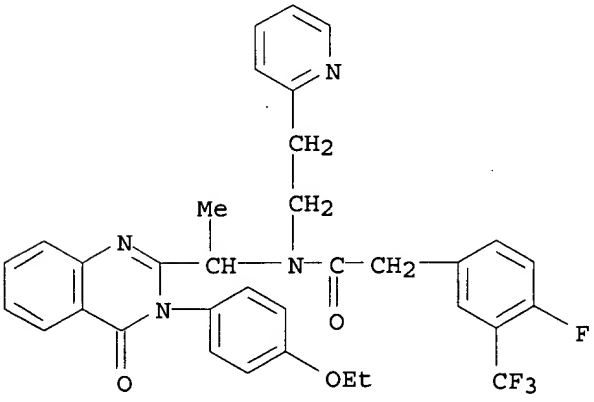


RN 473719-92-5 CAPLUS
CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

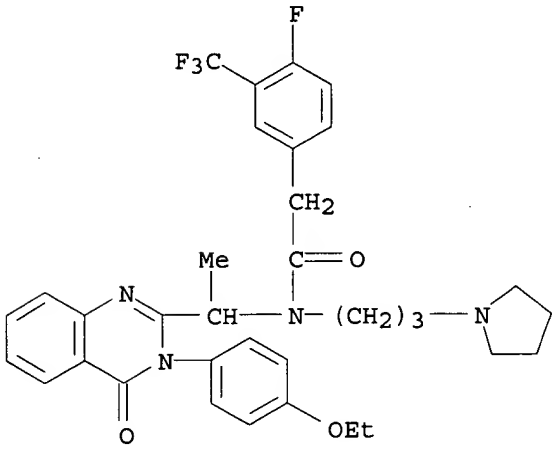


RN 473719-94-7 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[2-(2-pyridinyl)ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

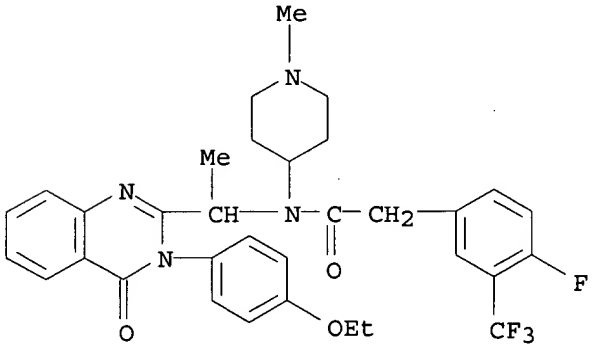


RN 473719-98-1 CAPLUS

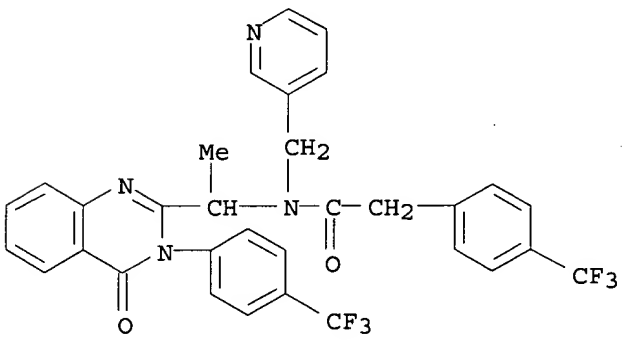
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[3-(1-pyrrolidinyl)propyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



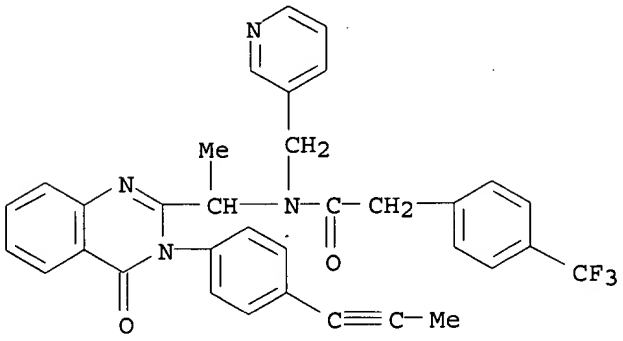
RN 473719-99-2 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-(1-methyl-4-piperidinyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



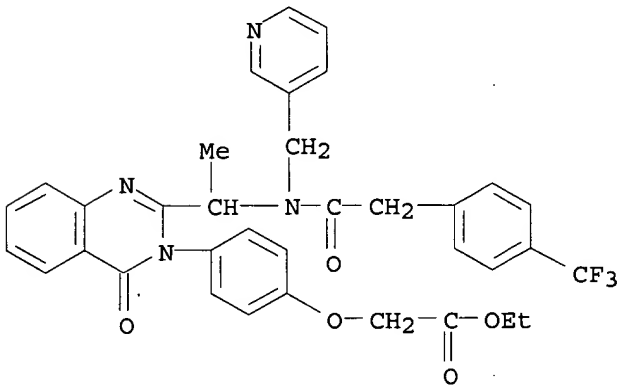
RN 473720-01-3 CAPLUS
CN Benzeneacetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-(trifluoromethyl)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



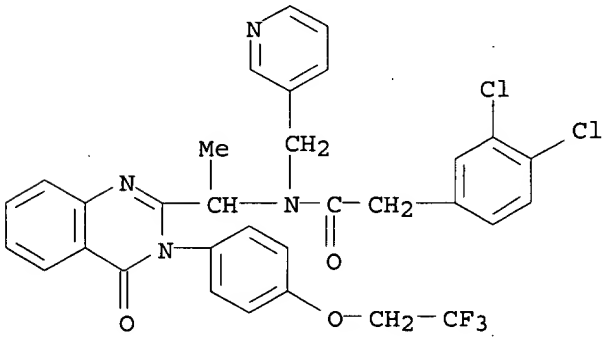
RN 473720-02-4 CAPLUS
CN Benzeneacetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-(1-propynyl)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473720-03-5 CAPLUS
CN Acetic acid, [4-[4-oxo-2-[1-[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]acetyl]amino]ethyl]-3(4H)-quinazolinyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

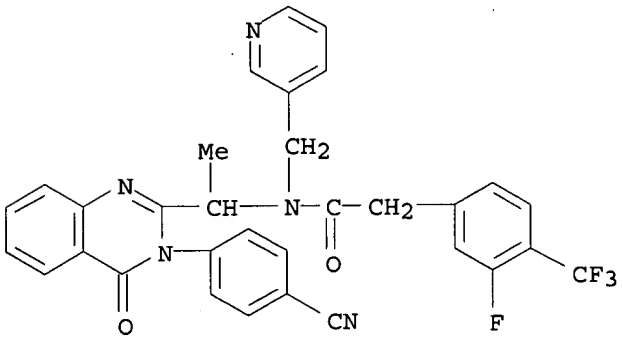


RN 473720-04-6 CAPLUS
CN Benzeneacetamide, 3,4-dichloro-N-[1-[3,4-dihydro-4-oxo-3-[4-(2,2,2-trifluoroethoxy)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

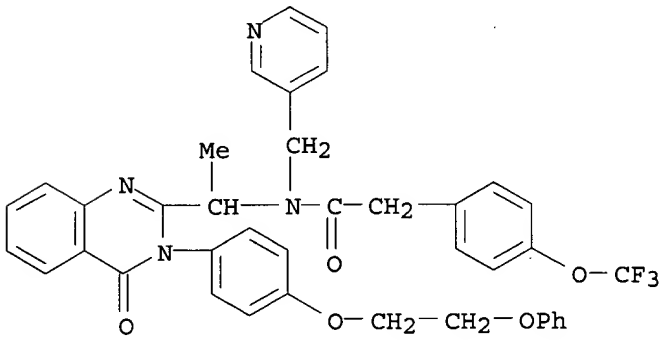


RN 473720-08-0 CAPLUS

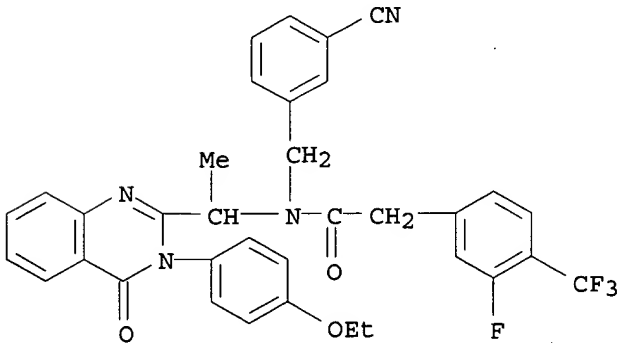
CN Benzeneacetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



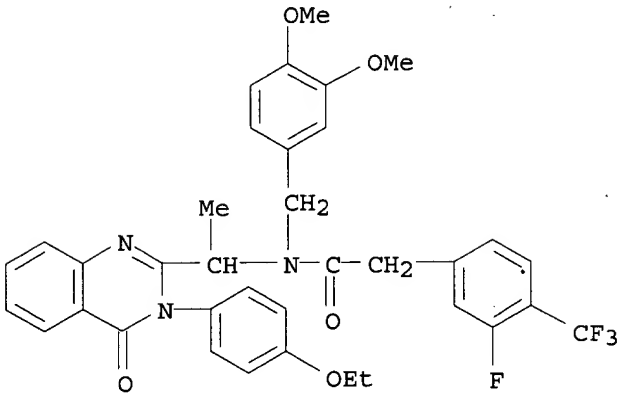
RN 473720-09-1 CAPLUS
CN Benzeneacetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-(2-phenoxyethoxy)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



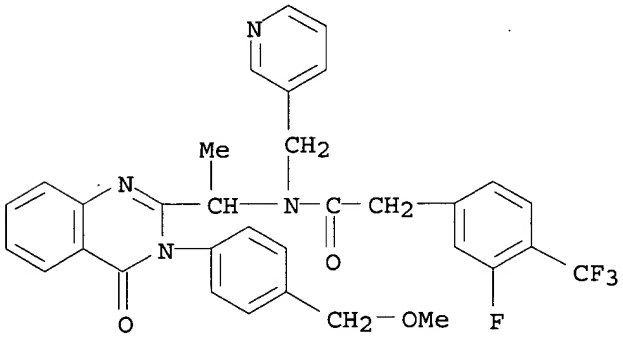
RN 473720-10-4 CAPLUS
CN Benzeneacetamide, N-[(3-cyanophenyl)methyl]-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



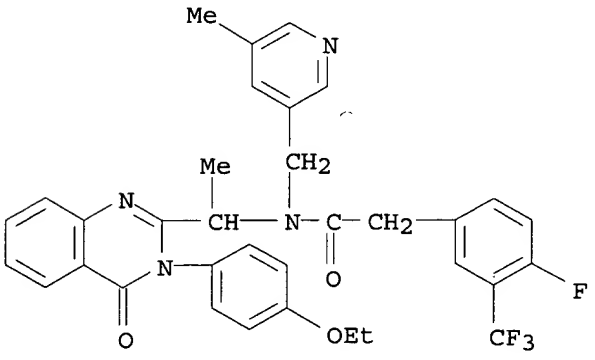
RN 473720-11-5 CAPLUS
CN Benzeneacetamide, N-[(3,4-dimethoxyphenyl)methyl]-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



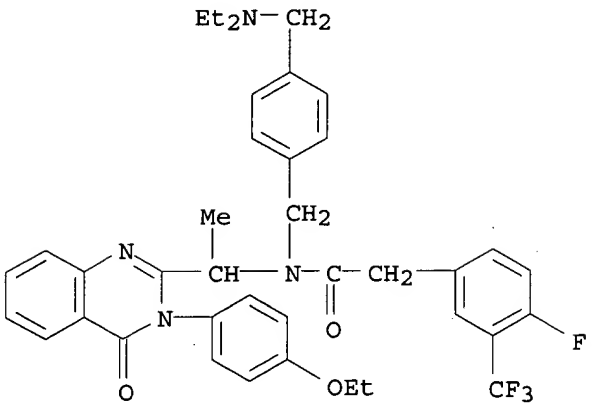
RN 473720-13-7 CAPLUS
CN Benzeneacetamide, N-[1-[3,4-dihydro-3-[4-(methoxymethyl)phenyl]-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473720-14-8 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[(5-methyl-3-pyridinyl)methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

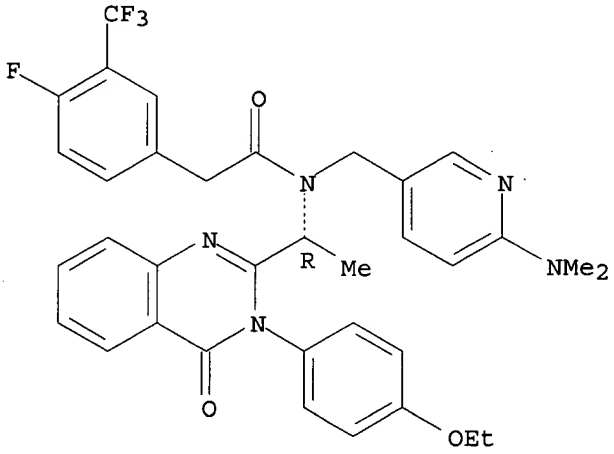


RN 473720-15-9 CAPLUS
CN Benzeneacetamide, N-[[4-[(diethylamino)methyl]phenyl]methyl]-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



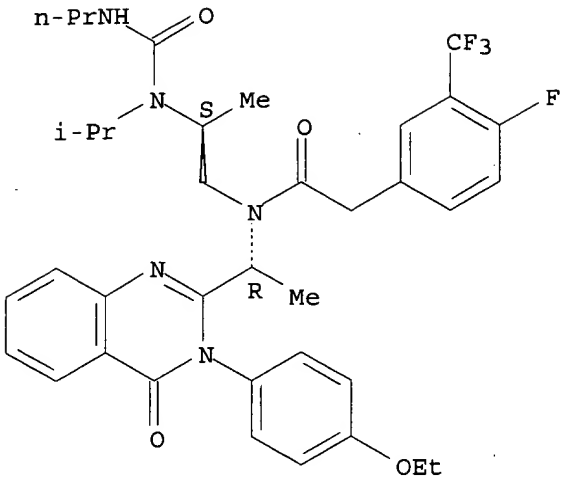
RN 473720-27-3 CAPLUS
CN Benzeneacetamide, N-[[6-(dimethylamino)-3-pyridinyl]methyl]-N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



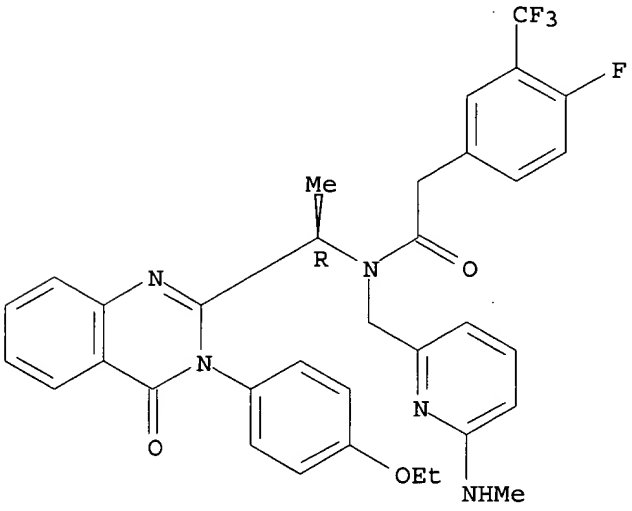
RN 473720-28-4 CAPLUS
CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[(2S)-2-[(1-methylethyl)[(propylamino)carbonyl]amino]propyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

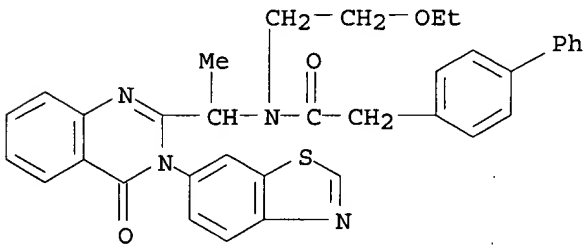


RN 473720-29-5 CAPLUS
CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[[6-(methylamino)-2-pyridinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

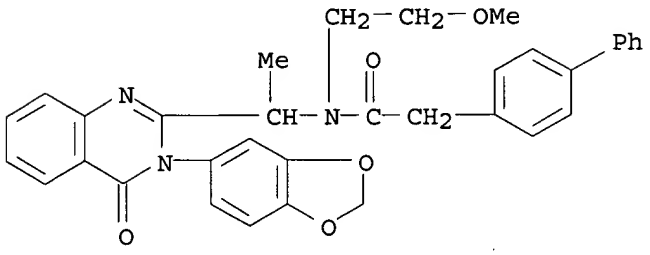
Absolute stereochemistry. Rotation (-).



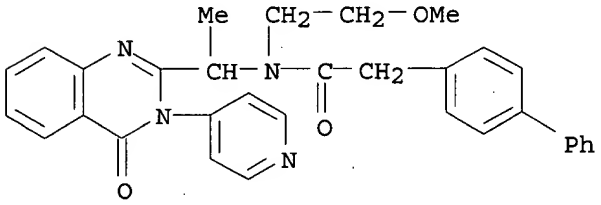
RN 473720-31-9 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(6-benzothiazolyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



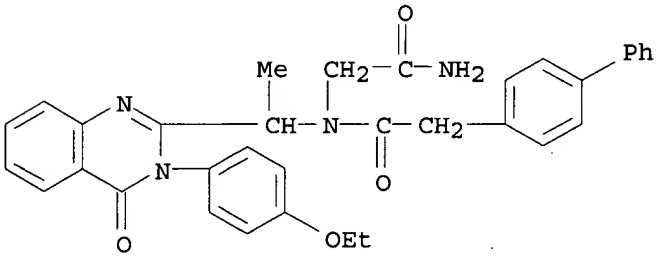
RN 473720-32-0 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(1,3-benzodioxol-5-yl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



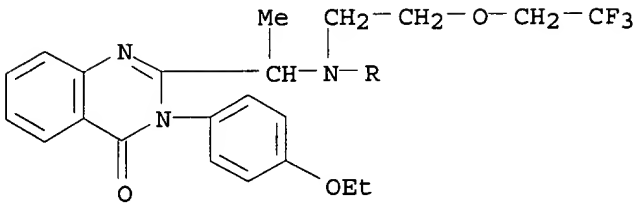
RN 473720-46-6 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-4-oxo-3-(4-pyridinyl)-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

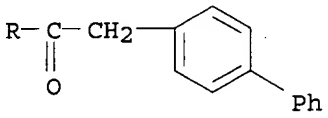


RN 473720-47-7 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(2-amino-2-oxoethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

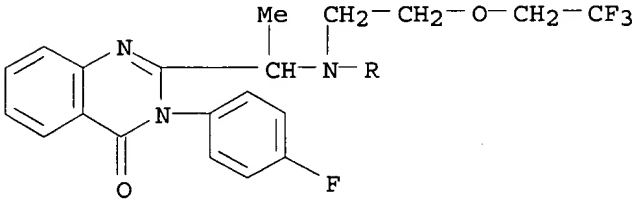


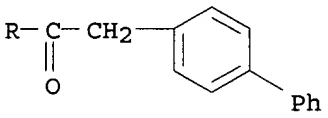
RN 473720-48-8 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(2,2,2-trifluoroethoxy)ethyl]- (9CI) (CA INDEX NAME)



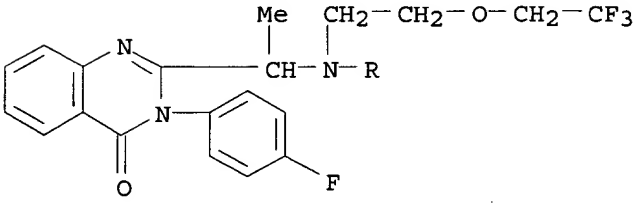


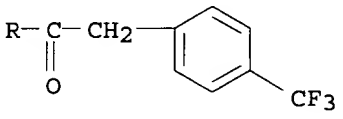
RN 473720-49-9 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(2,2,2-trifluoroethoxy)ethyl]- (9CI) (CA INDEX NAME)





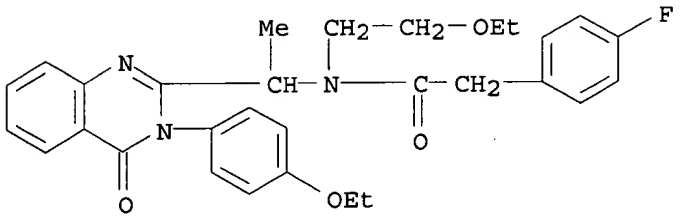
RN 473720-51-3 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(2,2,2-trifluoroethoxy)ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



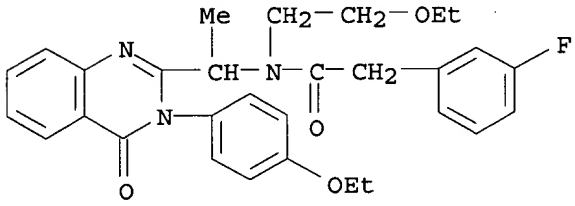


RN 473720-53-5 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-

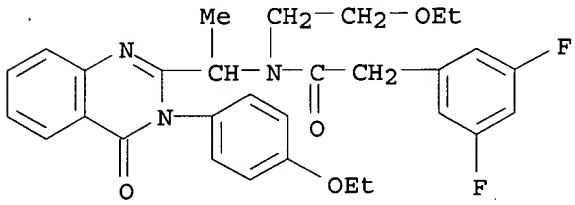
oxo-2-quinazolinyl]ethyl]-4-fluoro- (9CI) (CA INDEX NAME)



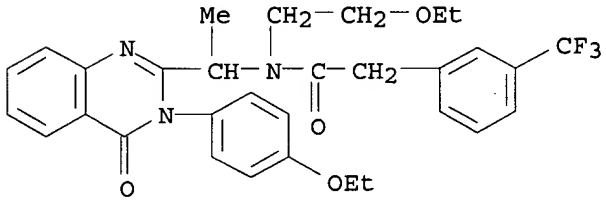
RN 473720-55-7 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro- (9CI) (CA INDEX NAME)



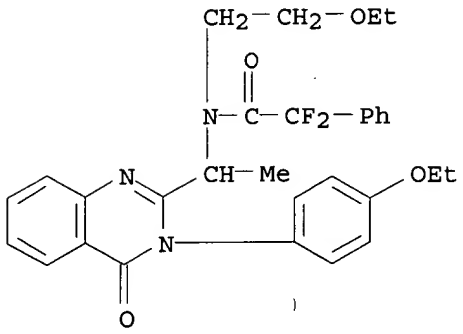
RN 473720-57-9 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



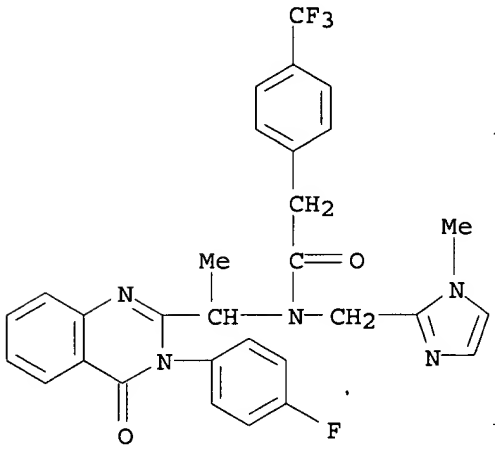
RN 473720-58-0 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



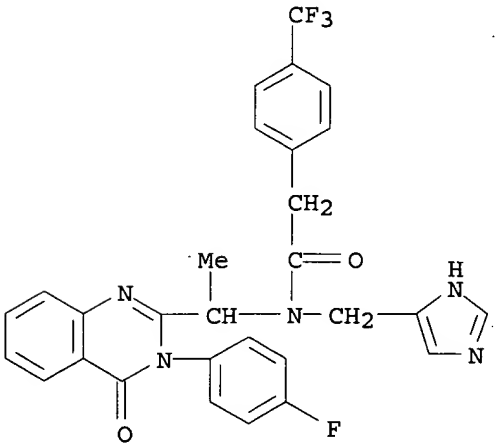
RN 473720-60-4 CAPLUS
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-.alpha.,.alpha.-difluoro- (9CI) (CA INDEX NAME)



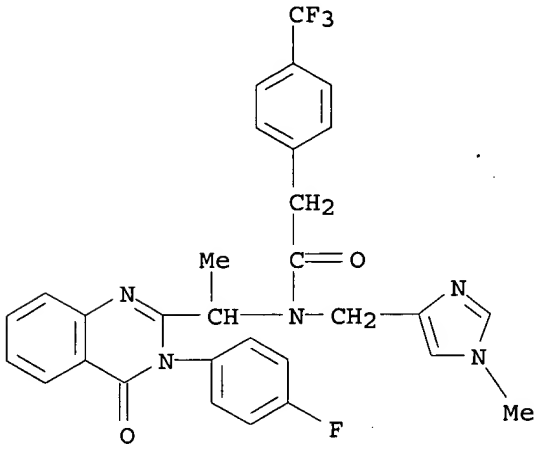
RN 473720-64-8 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



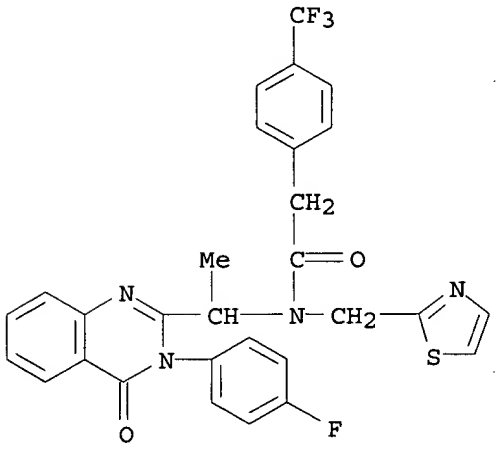
RN 473720-65-9 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(1H-imidazol-4-ylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



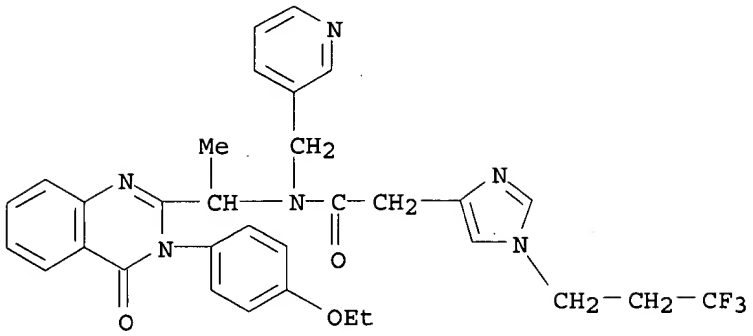
RN 473720-67-1 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[(1-methyl-1H-imidazol-4-yl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



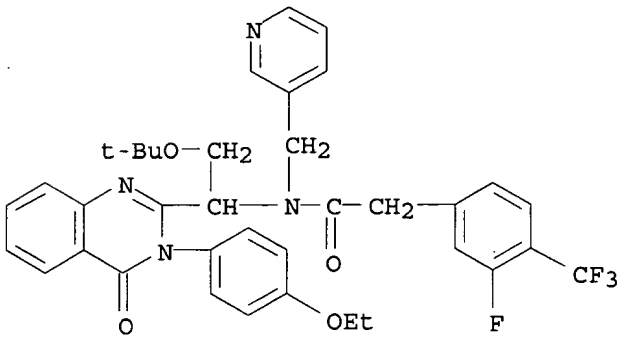
RN 473720-68-2 CAPLUS
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-thiazolylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



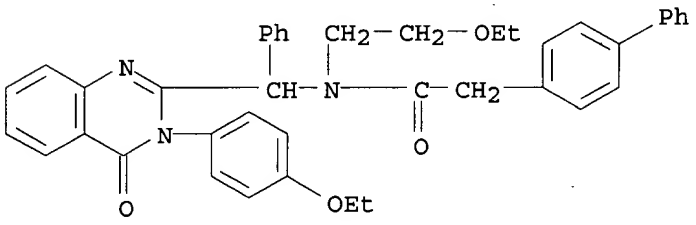
RN 473720-70-6 CAPLUS
CN 1H-Imidazole-4-acetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-1-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)



RN 473720-76-2 CAPLUS
CN Benzeneacetamide, N-[2-(1,1-dimethylethoxy)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



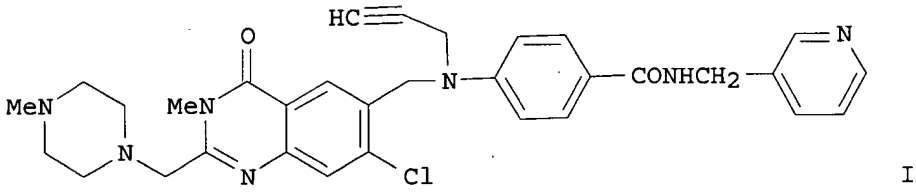
RN 473722-66-6 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]phenylmethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:524028 CAPLUS
DOCUMENT NUMBER: 137:232613
TITLE: The Design and Synthesis of Water-Soluble Analogues of CB30865, a Quinazolin-4-one-Based Antitumor Agent
AUTHOR(S): Bavetsias, V.; Skelton, L. A.; Yafai, F.; Mitchell, F.; Wilson, S. C.; Allan, B.; Jackman, A. L.
CORPORATE SOURCE: Centre for Cancer Therapeutics at The Institute of Cancer Research, Chemistry Department, Cancer Research U.K. Laboratory, Cancer Research U.K., Surrey, SM2

5NG, UK
SOURCE: Journal of Medicinal Chemistry (2002), 45(17), 3692-3702
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:232613
GI



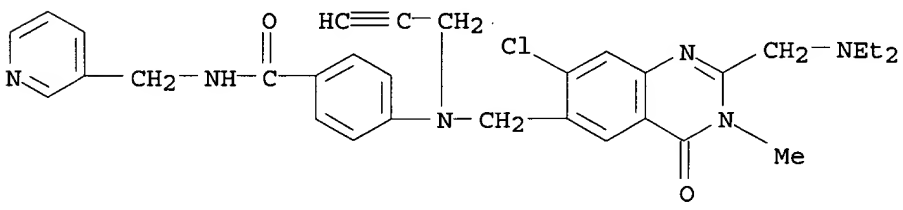
I

AB 4-[N-[7-Bromo-2-methyl-4-oxo-3,4-dihydroquinazolin-6-ylmethyl]-N-(prop-2-ynyl)amino]-N-(3-pyridylmethyl)benzamide (CB30865) is a quinazolin-4-one antitumor agent whose high growth-inhibitory activity (W1L2 IC50 = 2.8 .+-. 0.50 nM) is believed to have a folate-independent locus of action. In addn., CB30865 represents a class of compds. with unique biochem. characteristics such as a delayed, non-phase specific, cell-cycle arrest. The low aq. soly. of CB30865 prompted a search for more water-sol. analogs for in vivo evaluation of this class of compds. It was thought that aq. soly. could be increased by the introduction of amino functionalities at the 2-position of the quinazolin-4-one ring. A variety of compds. were synthesized in a linear fashion starting from 3-chloro-4-methylaniline. Most of these compds. were significantly more water-sol. than CB30865 (636 .mu.M for I at pH 6). In addn., some of them were up to 6-fold more cytotoxic than CB30865 (e.g., for I, W1L2 IC50 = 0.49 .+-. 0.24 nM) and retained its novel biochem. characteristics.

IT **289715-29-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of pyridinylmethylcarbamoylanilinomethylquinazolinones as water-sol. analogs of CB30865)

RN 289715-29-3 CAPLUS

CN Benzamide, 4-[[[7-chloro-2-[(diethylamino)methyl]-3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:935583 CAPLUS
DOCUMENT NUMBER: 136:53759
TITLE: Preparation of N-acylquinazolinonealkylamines as KSP

09/ 724,941 Supplemental

kinesin inhibitors

INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian; Smith, Whitney W.; Chabala, John C.; Morgans, David J., Jr.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

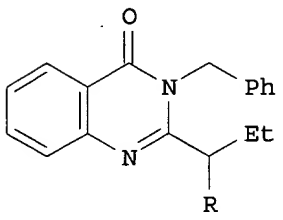
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098278	A1	20011227	WO 2001-US13901	20010427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6545004	B1	20030408	US 2000-699047	20001024
JP 2003048881	A2	20030221	JP 2002-156766	20001026
EP 1296959	A1	20030402	EP 2001-932769	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:

US 2000-213104P	P	20000621
US 2000-699047	A	20001024
US 1999-198253P	P	19991027
JP 2001-533122	A3	20001026
WO 2001-US13901	W	20010427

OTHER SOURCE(S): MARPAT 136:53759

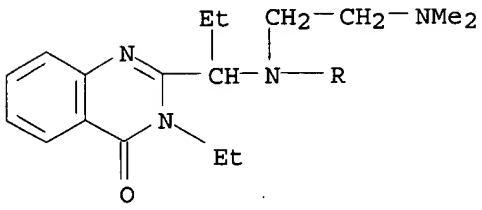
GI

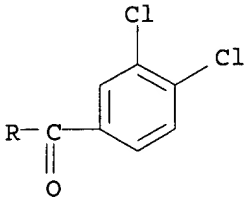


II

AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepd. Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.

IT **288261-76-7P 288261-77-8P 289672-01-1P**
299444-93-2P 299446-39-2P 299446-79-0P
303212-68-2P 303212-84-2P 334003-55-3P
334488-92-5P 334488-94-7P 334488-97-0P





REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

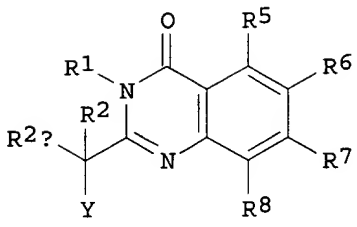
L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:319882 CAPLUS
DOCUMENT NUMBER: 134:326543
TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

applicants

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030768	A1	20010503	WO 2000-US29585	20001026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000015110	A	20020702	BR 2000-15110	20001026
EP 1226129	A1	20020731	EP 2000-976656	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003048881	A2	20030221	JP 2002-156766	20001026
JP 2003512461	T2	20030402	JP 2001-533122	20001026
NO 2002001907	A	20020607	NO 2002-1907	20020423

PRIORITY APPLN. INFO.:
US 1999-198253P P 19991027
US 2000-213104P P 20000621
JP 2001-533122 A3 20001026
WO 2000-US29585 W 20001026

OTHER SOURCE(S): MARPAT 134:326543
GI



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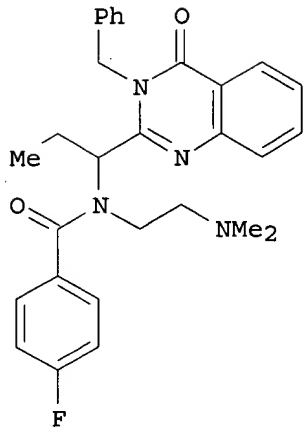


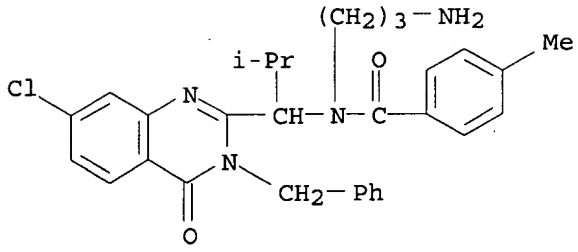
II

AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepd. by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addn. of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

IT **336115-13-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336115-13-0 CAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



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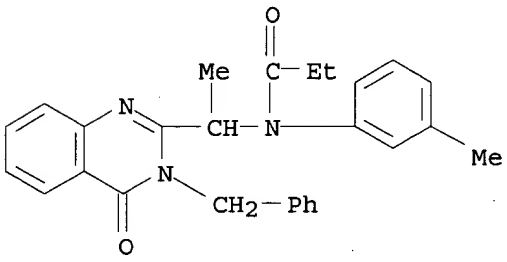
336116-65-5P 336116-67-7P 336116-69-9P

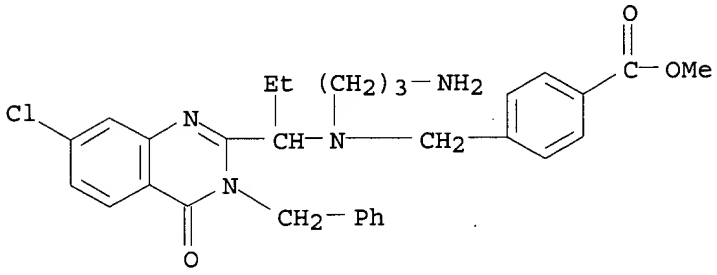
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 288261-76-7 CAPLUS
CN Propanamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

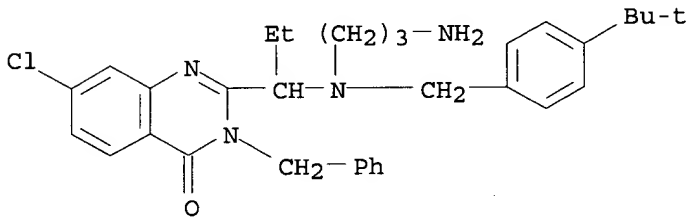


RN 288261-77-8 CAPLUS
CN Butanamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

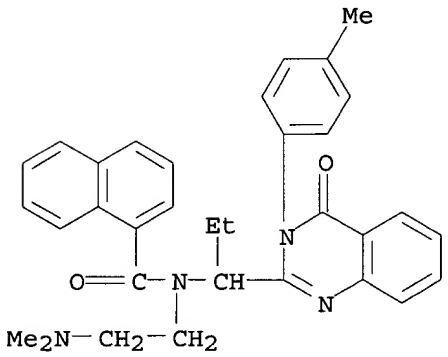
RN 336119-84-7 CAPLUS
CN Benzoic acid, 4-[[(3-aminopropyl)[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 336119-85-8 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[(3-aminopropyl)[[4-(1,1-dimethylethyl)phenyl]methyl]amino]propyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 336125-01-0 CAPLUS
CN 1-Naphthalenecarboxamide, N-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:290354 CAPLUS
DOCUMENT NUMBER: 135:76844
TITLE: Quinazolin-4-one .alpha.-Amino-3-hydroxy-5-methyl-4-isoxazolepropionic Acid (AMPA) Receptor Antagonists:

Structure-Activity Relationship of the C-2 Side Chain Tether

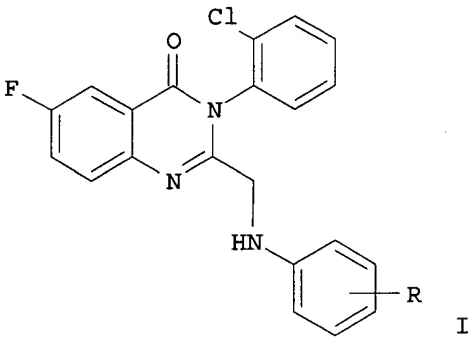
AUTHOR(S): Chenard, Bertrand L.; Welch, Willard M.; Blake, James F.; Butler, Todd W.; Reinhold, Anthony; Ewing, Frank E.; Menniti, Frank S.; Pagnozzi, Martin J.

CORPORATE SOURCE: Global Research and Development Groton Laboratories, Pfizer Inc., Groton, CT, 06340, USA

SOURCE: Journal of Medicinal Chemistry (2001), 44(11), 1710-1717
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

GI



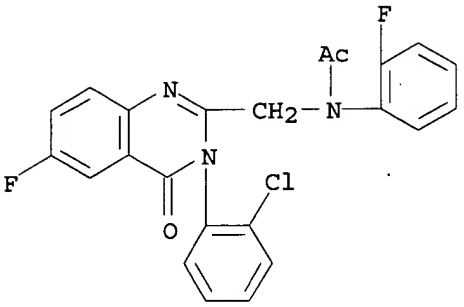
I

AB A series of 6-fluoro-3-(2-chlorophenyl)quinazolin-4-ones has been prepd., which contains a 2-fluorophenyl ring attached to C-2 by a variety of two-atom tethers. These compds. were used to probe the structure-activity relationship (SAR) for AMPA receptor inhibition. The relative potencies of the new compds. ranged from 11 nM to greater than 10 .mu.M. The differential activity of the compds. was rationalized on the basis of alterations of the 2-fluorophenyl positioning (planar and radial) relative to the quinazolin-4-one ring based on computational methods. From this effort, new AMPA receptor antagonists I [R = 2-F, 2-CN, 3-CN, 3-pyrrolidinomethyl], contg. the methylamino tether group, have been identified.

IT **346700-93-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of 2-arylaminomethylquinazolinones as AMPA receptor antagonists)

RN 346700-93-4 CAPLUS

CN Acetamide, N-[[3-(2-chlorophenyl)-6-fluoro-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]-N-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:265417 CAPLUS
DOCUMENT NUMBER: 134:280870
TITLE: Preparation and formulation of quinazolinones and analogs for therapeutic use as local anesthetics
INVENTOR(S): Axt, Sabine A.; Church, Timothy J.; Jacobsen, John R.; Jenkins, Thomas E.; Ji, Yu-hua; Wu, Huiwei
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025234	A1	20010412	WO 2000-US26810	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6355637	B1	20020312	US 2000-671626	20000928
EP 1216243	A1	20020626	EP 2000-968488	20000928
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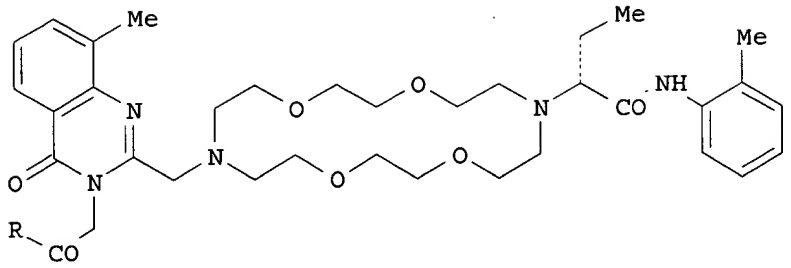
US 6436919	B1	20020820	US 2000-671630	20000928

PRIORITY APPLN. INFO.: US 1999-157368P P 19991001
WO 2000-US26810 W 20000928

OTHER SOURCE(S): MARPAT 134:280870
GI



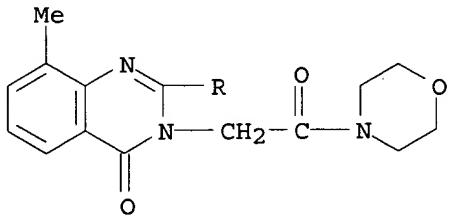
I

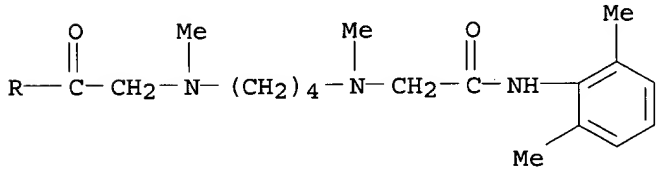
AB Quinazolinones, such as L1-X-L2, [L1 = heterocyclyl, such as quinazolin-2-yl, 3,1-benzoxazin-2-yl, 3,1-benzthiazin-2-yl, etc.; L2 = ArW; Ar = aryl, heteroaryl, cycloalkyl, etc.; W = linking group, such as alkyl, alkylcarbonyloxy, etc.; X = linking group, such as aminoalkylamino, 1,4,10,13-tetraoxa-7,16-diazacyclooctadecan-7,16-diyl, etc.], were prepd. and formulated for use as local anesthetics. Thus, quinazolinone I (R = 4-morpholinyl) was via a multistep synthetic sequence starting from PhCH2OCONHCH2CO2H, morpholine, 3-Me-4-NO2C6H3CO2H, ClCOCH2Cl, (R)-MeCH2CH(NH2)CO2H, and H(OCH2CH2)3Cl. The prepd. quinazolinones were tested for anesthetic activity by the whole cell variant of the patch-clamp method and by the rat sciatic nerve sucrose-gap assay. Various pharmaceutical formulations for both topical application and injection were presented.

IT **333794-12-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. and formulation of quinazolin-2-ones, which modulate voltage-gated sodium channels, for therapeutic use as local anesthetics)

RN 333794-12-0 CAPLUS

CN Acetamide, 2-[[4-[[2-[3,4-dihydro-8-methyl-3-[2-(4-morpholinyl)-2-oxoethyl]-4-oxo-2-quinazolinyl]-2-oxoethyl]methylamino]butyl]methylamino]-N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



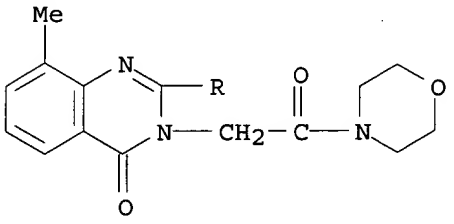


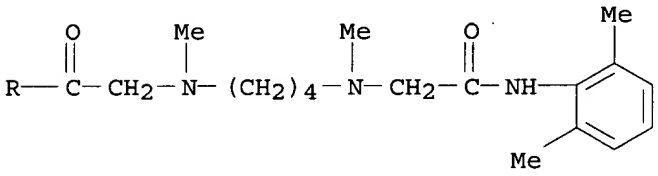
IT **333794-13-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and formulation of quinazolin-2-ones, which modulate

voltage-gated sodium channels, for therapeutic use as local anesthetics)

RN 333794-13-1 CAPLUS

CN Acetamide, 2-[[4-[[2-[3,4-dihydro-8-methyl-3-[2-(4-morpholinyl)-2-oxoethyl]-4-oxo-2-quinazolinyl]-2-oxoethyl]methylamino]butyl]methylamino]-N-(2,6-dimethylphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)





O_2 HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:208250 CAPLUS

DOCUMENT NUMBER: 134:252352

TITLE: Preparation of 3-aryl-2-arylureidoalkylquinazolin-4-ones and related compounds as mediators of hedgehog signaling pathways.

INVENTOR(S): Baxter, Anthony David; Boyd, Edward Andrew; Guichert, Oivin M.; Price, Stephen; Rubin, Lee D.

PATENT ASSIGNEE(S): Curis, Inc., USA

SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019800	A2	20010322	WO 2000-US25461	20000915
WO 2001019800	A3	20011206		
WO 2001019800	C2	20021003		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,

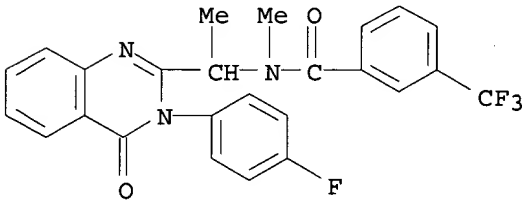
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1216234 A2 20020626 EP 2000-963551 20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
JP 2003509414 T2 20030311 JP 2001-523380 20000915
US 6545005 B1 20030408 US 2000-663835 20000915
PRIORITY APPLN. INFO.: US 1999-154526P P 19990916
US 1999-159412P P 19991014
US 1999-162899P P 19991101
WO 2000-US25461 W 20000915

OTHER SOURCE(S): MARPAT 134:252352

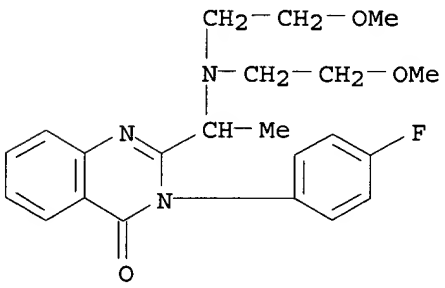
AB R1LX1Y1Z1LX2Y2Z2LR2 [R1, R2 = H, alkyl, (substituted) aryl, aralkyl, heteroaryl, heteroarylalkyl; L = null, alkyl, alkenyl, alkynyl, (CH2)nO(CH2)p, etc.; n, p = 0-10; X1, X2 = NR8, O, S, Se, N:N, ON:CH, heterocyclyl, bond, etc.; Y1, Y2 = CO, CS, SO2, SO, C(:NCN), heteroaryl, bond, etc.; Z1, Z2 = NR8, O, S, Se, N:N, ON:CH, heterocyclyl, bond, etc.; R8 = H, alkyl, (substituted) aryl, aralkyl, heteroaryl, heteroaralkyl, etc.], were prepd. Thus, triphosgene in EtOAc was added to 4-nitro-3-trifluoromethylaniline in EtOAc followed by stirring and reflux. The mixt. was concd., dissolved in CHCl3, and treated with 3-(4-fluorophenyl)-2-(1-methylaminoethyl)-4-oxo-3,4-dihydroquinazoline in CHCl3 to give 97% 1-[1-[3-(4-fluorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-3-(3-trifluoromethyl-4-nitrophenyl)-1-methylurea. The latter inhibited sonic hedgehog-induced Gli transcription activity with IC50 <5 .mu.M.

IT **330796-29-7P 330796-31-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of 3-aryl-2-arylureidoalkylquinazolin-4-ones and related compds. as mediators of hedgehog signaling pathways)

RN 330796-29-7 CAPLUS
CN Benzamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 330796-31-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[bis(2-methoxyethyl)amino]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

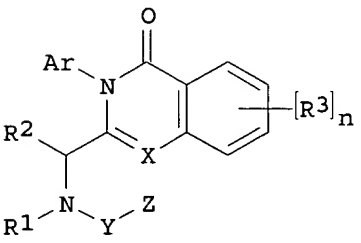


L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:167976 CAPLUS
DOCUMENT NUMBER: 134:222723
TITLE: Preparation of quinazolinones for modulating CXR3 function
INVENTOR(S): Schall, Thomas J.; Dairaghi, Daniel J.; McMaster, Brian E.
PATENT ASSIGNEE(S): Chemocentryx, Inc., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016114	A2	20010308	WO 2000-US23556	20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1216232	A1	20020626	EP 2000-959489	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: US 1999-151212P P 19990827
WO 2000-US23556 W 20000825

OTHER SOURCE(S): MARPAT 134:222723
GI



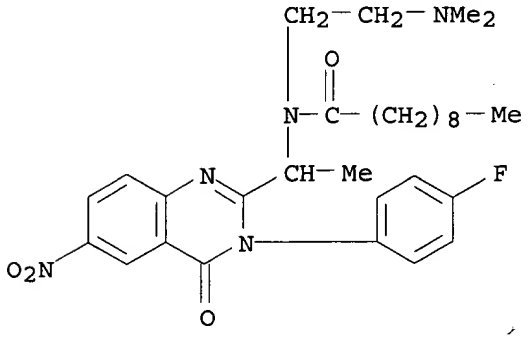
I

AB The title compds. [I; n = 0-4; Ar = (un)substituted aryl, heteroaryl; R1 = (un)substituted C5-15 alkyl; R2 = (un)substituted C1-8 alkyl; X = CH, N; Y = (un)substituted alkylene, heteroalkylene; Z = NR4R5 (R4, R5 = H, alkyl; NR4R5 = 5-7 membered ring)] that bind to the CXCR3 chemokine receptor and which are useful for treating diseases assocd. with CXCR3 activity, such as multiple sclerosis, were prepd. E.g., a multi-step synthesis of the quinazolinone I [Ar = 4-C6H4; R1 = decanoyl; R2 = Me; Y = (CH2)2; Z = NMe2; R3 = H] which showed IC50 of .ltoreq. 0.8 .mu.M against CXCR3 chemokine receptor binding, was given.

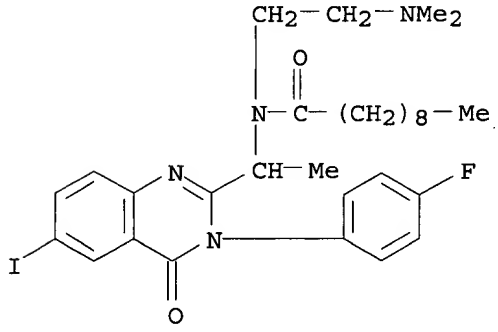
IT **329190-38-7P 329190-41-2P 329190-45-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation);

RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinones for modulating CXR3 function)

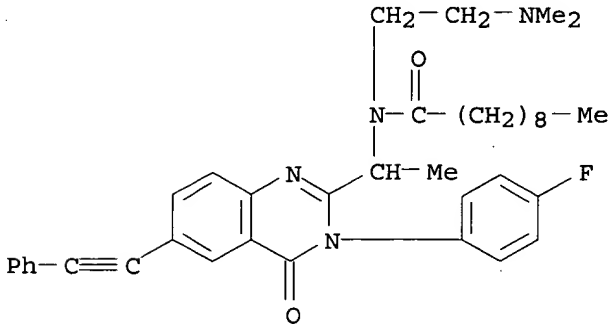
RN 329190-38-7 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6-nitro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 329190-41-2 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6-iodo-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



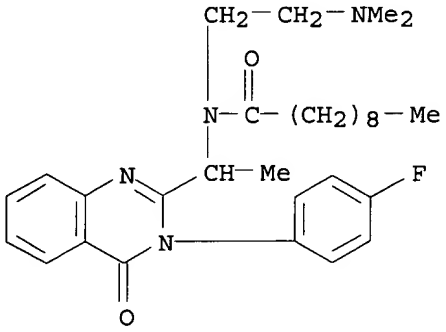
RN 329190-45-6 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-6-(phenylethynyl)-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



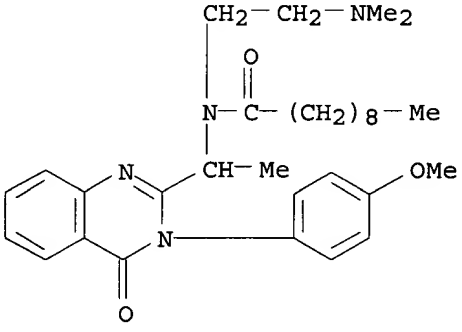
IT **329190-29-6P 329190-30-9P 329190-31-0P**
329190-32-1P 329190-33-2P 329190-34-3P
329190-35-4P 329190-37-6P 329190-39-8P

329190-40-1P 329190-42-3P 329190-43-4P
329190-44-5P 329190-46-7P 329190-47-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of quinazolinones for modulating CXR3 function)

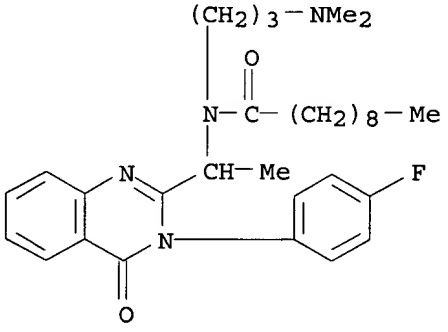
RN 329190-29-6 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



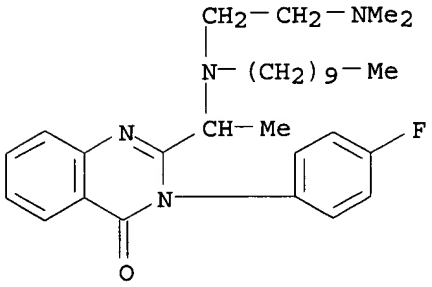
RN 329190-30-9 CAPLUS
CN Decanamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



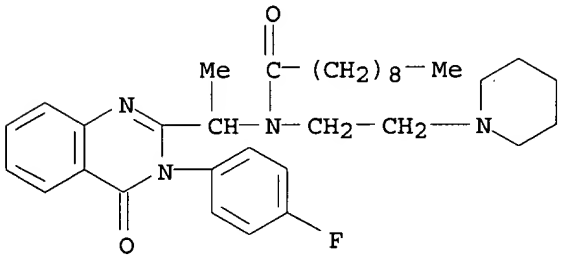
RN 329190-31-0 CAPLUS
CN Decanamide, N-[3-(dimethylamino)propyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



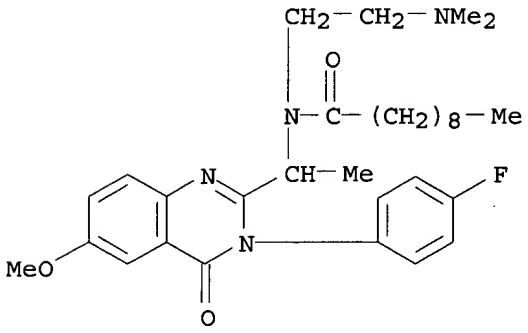
RN 329190-32-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[decyl[2-(dimethylamino)ethyl]amino]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



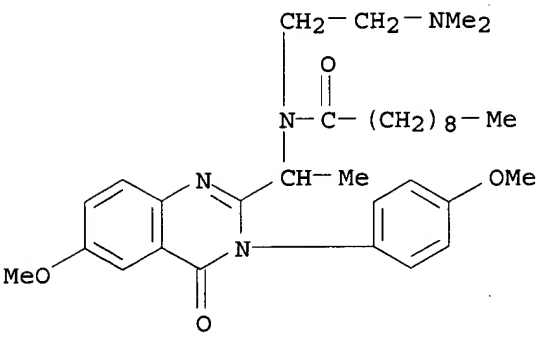
RN 329190-33-2 CAPLUS
CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



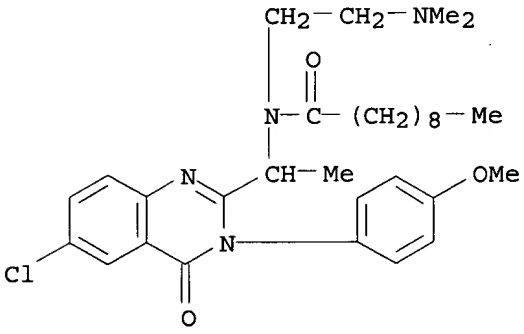
RN 329190-34-3 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6-methoxy-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



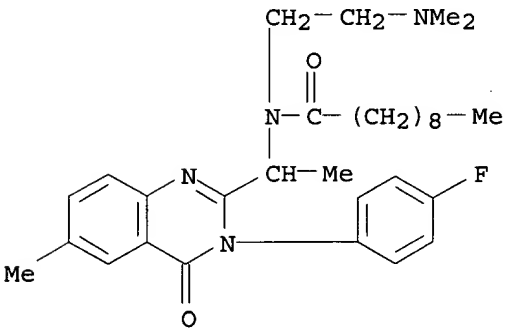
RN 329190-35-4 CAPLUS
CN Decanamide, N-[1-[3,4-dihydro-6-methoxy-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



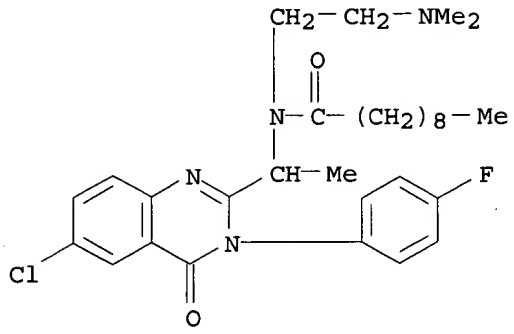
RN 329190-37-6 CAPLUS
CN Decanamide, N-[1-[6-chloro-3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



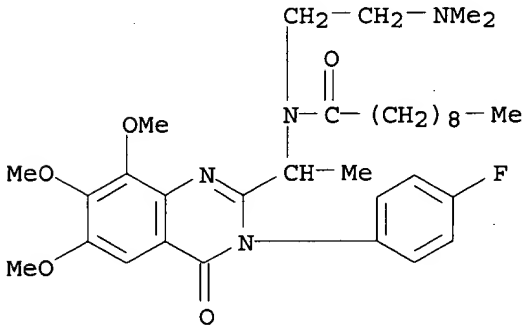
RN 329190-39-8 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6-methyl-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



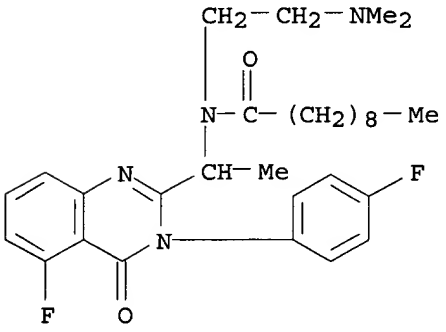
RN 329190-40-1 CAPLUS
CN Decanamide, N-[1-[6-chloro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



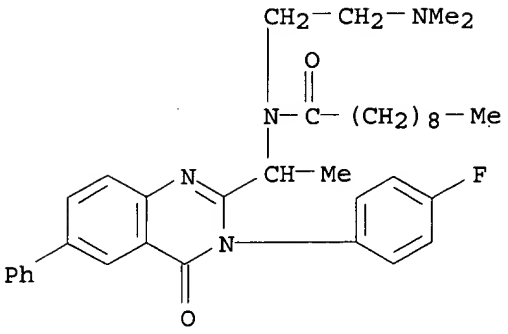
RN 329190-42-3 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6,7,8-trimethoxy-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



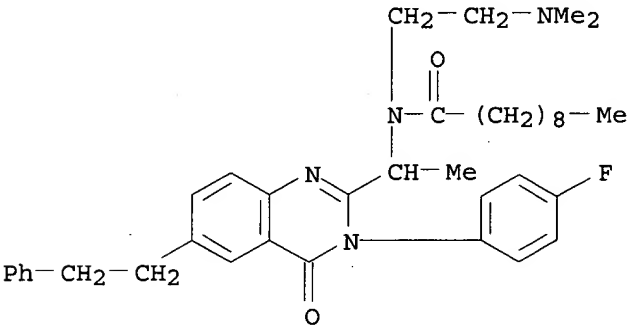
RN 329190-43-4 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[5-fluoro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



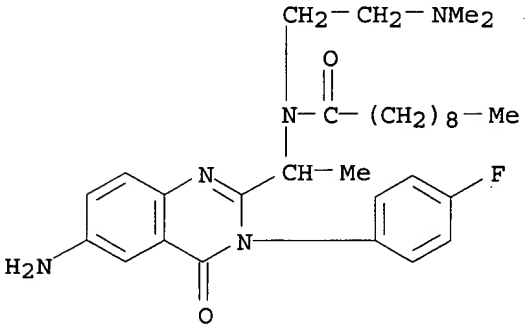
RN 329190-44-5 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-6-phenyl-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 329190-46-7 CAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-6-(2-phenylethyl)-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 329190-47-8 CAPLUS
CN Decanamide, N-[1-[6-amino-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:666928 CAPLUS
DOCUMENT NUMBER: 133:261508
TITLE: Screening of antiviral compounds targeted to the HIV-1 gp41 core structure
INVENTOR(S): Jiang, Shibo; Debnath, Asim K.
PATENT ASSIGNEE(S): New York Blood Center, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055377	A1	20000921	WO 2000-US6771	20000315
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1161564	A1	20011212	EP 2000-917952	20000315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-124907P P 19990317
US 2000-525874 A 20000314
WO 2000-US6771 W 20000315

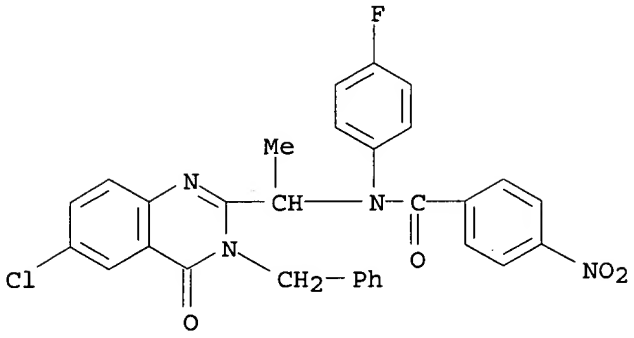
OTHER SOURCE(S): MARPAT 133:261508

AB A method for the screening of antiviral compds. targeted to the HIV-1 gp41 core structure comprises capturing polyclonal antibodies from an animal other than a mouse directed against a trimer of a heterodimer contg. an N-peptide and a C-peptide onto a solid-phase, mixing a compd. to be tested with an N-peptide and then adding a C-peptide, adding the resultant mixt. to the resultant polyclonal antibody-coated solid-phase and then removing unbound peptides and unbound compd., adding a monoclonal antibody directed against the trimer of a heterodimer contg. an N-peptide and a C-peptide and measuring the antibody binding of the monoclonal antibody. A method for inhibiting HIV-1 virus replication or infectivity in a patient involves administering to the patient an antiviral compd. targeted to the HIV-1 gp41 core structure selected from the group consisting of 7-[6-phenylamino-4[4-[(3,5-disulfo-8-hydroxynaphthyl)azo]-2-methoxy-5-methyl-phenylamino]-1,3,5-triazine-2-yl]-4-hydroxy-3-[(2-methoxy-5-sulfophenyl)azo]-2-naphthalene sulfonic acid and 5-[(4-chloro-6-phenylamino-1,3,5-triazine-2-yl)-aminol]-4-hydroxy-3-[(4-methyl-5-sulfophenyl)azo]-2,7-naphthalene disulfonic acid.

IT **294844-30-7**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); **BIOL (Biological study)**; PROC (Process)
(screening of antiviral compds. targeted to HIV-1 gp41 core structure)

RN 294844-30-7 CAPLUS

CN Benzamide, N-[1-[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-fluorophenyl)-4-nitro- (9CI) (CA INDEX NAME)

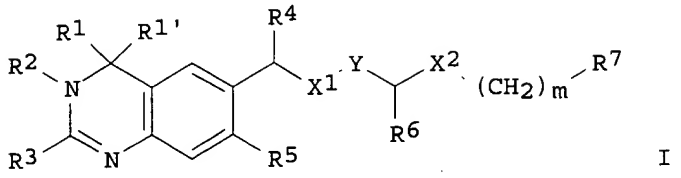


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

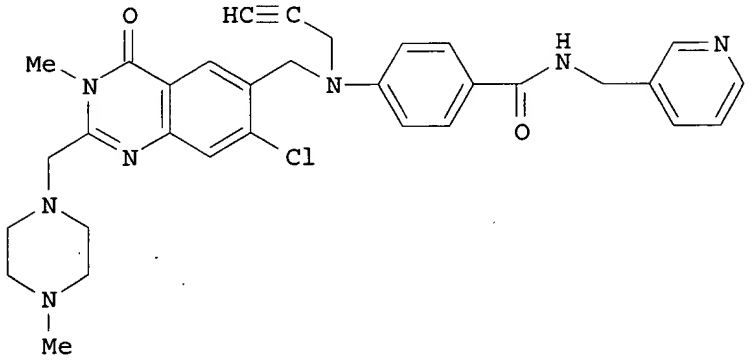
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:608742 CAPLUS
DOCUMENT NUMBER: 133:207917
TITLE: Preparation of anticancer dihydroquinazoline derivatives with a non-folate dependent locus of activity
INVENTOR(S): Skelton, Lorraine; Bavetsias, Vassilis; Jackman, Ann
PATENT ASSIGNEE(S): Cancer Research Campaign Technology Ltd., UK
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050417	A1	20000831	WO 2000-GB655	20000224
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1155012	A1	20011121	EP 2000-905212	20000224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002537391	T2	20021105	JP 2000-600998	20000224

PRIORITY APPLN. INFO.: GB 1999-4275 A 19990224
WO 2000-GB655 W 20000224
OTHER SOURCE(S): MARPAT 133:207917
GI



I



II

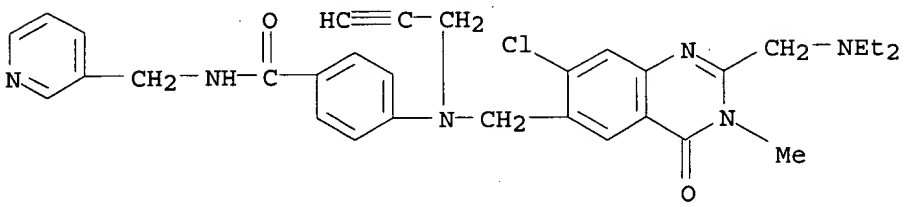
AB The title compds. (I) [wherein R1 and R1' together = :O and R2 = H, alkyl, alkyl-CO-B, alkyl-CO-alkyl-B, alkyl-CO2-alkyl-B, alkyl-CO2-alkenyl-B, or alkyl-CONH-alkyl-B; B = CO2H, OH, alkoxy, NH2, (di)alkylamino, or 5- or 6-membered heterocyclic group; or R1' and R2 together = a bond and R1 is alkylthio, NHR', or NHCOR'; R' = aryl or alkyl; R3 = (CH2)pA; p = 1-4; A = 5- or 6-membered N-contg. heterocyclic ring attached via the N or NA'A"; A' and A" = independently alkyl groups; R4 = H, :O, or alkyl and R5 = H, alkyl, or halo; or R4 and R5 together with the carbon atoms to which they are attached = 5- or 6-membered carbocyclic ring; X1 and X2 = independently O, S, or NR"; R" = H, alkyl, alkenyl, or alkynyl; Y = divalent (hetero)aryl; R6 = H, :O, or alkyl; m = 1-4; R7 = pyridyl, pyrimidyl, (alkyl)imidazolyl, or (alkyl)triazolyl], and pharmaceutically acceptable salts thereof, were prepd. for the treatment or prevention of cancer. I have a different pattern of activity to known chemotherapeutic agents, which operate via inhibition of thymidylate synthase (TS), and are thought to act via a new, non-folate dependent locus like that of CB30865. For example, hydrolysis of the 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu ester (multi-step prepn. given) with TFA in CH2Cl2, followed by amidation with 3-(aminomethyl)pyridine in DMF using PyBOP.RTM. in the presence of diisopropylethylamine, gave II (70%). II inhibits TS poorly compared to the known anticancer agent CB3717 (IC50 II / IC50 CB3717 > 2500). However, II (CB300919) was active against the W1L2 and W1l2:C1 cell lines, including W1L2 cells incubated in the presence of folate metabolites, with IC50 values of 0.49 nM, 0.28 nM, and 0.32 nM, resp. In a test against W1L2:R865, a CB30865 resistant cell line, II showed decreased activity with an IC50 of 13,000 nM. In addn., II demonstrated antitumor activity against CH1 ovarian and HT29 colon cancer cells in nude mice at doses that were tolerated.

IT **289715-29-3P**, CB 300922
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(anticancer agent; prepn. of anticancer 6-[[N-(4-carbamoylphenyl)-N-(prop-2-ynyl)amino]methyl]-3,4-dihydroquinazolin-4-ones by hydrolysis and amidation of 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu esters)

RN 289715-29-3 CAPLUS

CN Benzamide, 4-[[[7-chloro-2-[(diethylamino)methyl]-3,4-dihydro-3-methyl-4-

oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



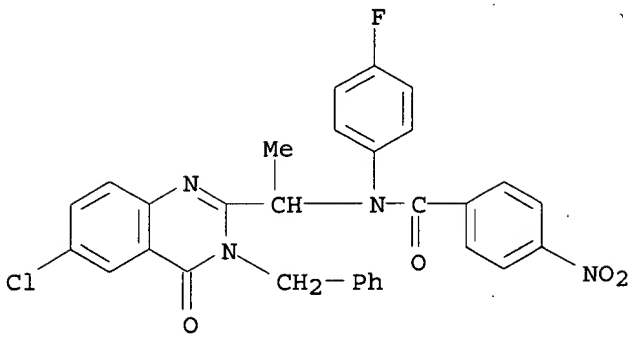
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:499893 CAPLUS
DOCUMENT NUMBER: 131:266552
TITLE: Structure-Based Identification of Small Molecule Antiviral Compounds Targeted to the gp41 Core Structure of the Human Immunodeficiency Virus Type 1
AUTHOR(S): Debnath, Asim Kumar; Radigan, Lin; Jiang, Shibo
CORPORATE SOURCE: Lindsley F. Kimball Research Institute, The New York Blood Center, New York, NY, 10021, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(17), 3203-3209
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Recent X-ray crystallog. detn. of the HIV-1 envelope glycoprotein gp41 core structure opened up a new avenue to discover antiviral agents for chemotherapy of HIV-1 infection and AIDS. A systematic study has been undertaken to search for anti-HIV-1 lead compds. targeted to gp41. Using mol. docking techniques to screen a database of 20,000 org. mols., 16 compds. were found with the best fit for docking into the hydrophobic cavity within the gp41 core and with max. possible interactions with the target site. Further testing of these compds. by an ELISA and virus inhibition assays discerned two compds. (ADS-J1 and ADS-J2) having inhibitory activity at micromolar concns. on the formation of the gp41 core structure and on HIV-1 infection. These two compds. will be used as leads to design more effective HIV-1 inhibitors targeted to the HIV-1 gp41 core structure.

IT **294844-30-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); **BIOL (Biological study)**
(structure-based identification of small mol. antiviral compds. targeted to gp41 core structure of HIV-1)

RN 294844-30-7 CAPLUS
CN Benzamide, N-[1-[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-fluorophenyl)-4-nitro- (9CI) (CA INDEX NAME)



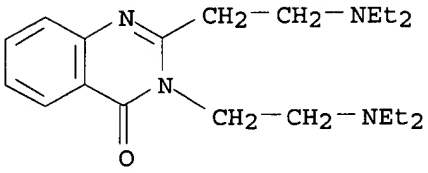
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:19452 CAPLUS
DOCUMENT NUMBER: 130:177140
TITLE: Correspondence analysis of protein kinase C (PKC) inhibition by bis-basic substituted benzamides
AUTHOR(S): Gilbert, Jacques; Cheminant, Michel; Bignon, Eric; Pons, Michel; Ojasoo, Tiiu; Dore, Jean-Christophe
CORPORATE SOURCE: CNRS-SIRCOB, Universite de Versailles/St. Quentin-en-Yvelines, Versailles, 78000, Fr.
SOURCE: Drug Design and Discovery (1998), 15(4), 253-267, 2 plates
CODEN: DDDIEV; ISSN: 1055-9612
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of a novel series of bis-basic substituted benzamides and their relative potency in inhibiting rat brain protein kinase alpha (PKC.alpha.) activity were described. None of the compds. inhibited enzyme activity via the catalytic domain but several did via the regulatory domain at 1-5.mu.M concns. Inhibition was comparable to that of several di- and triphenylacrylonitriles and triphenylethylenes. According to a multivariate factor (correspondence) anal. of QSAR descriptors, hydrophobicity (log p) and hydration energy were the most discriminant descriptors, much more so than mol. mass, molar refractivity, polarizability, mol. vol. and solvent-accessible surface. Inhibitory activity was correlated with high hydrophobicity and low hydration energy. The higher potency of N,N'-oxalylbis[(o-amino)[2-(diethylamino)ethyl]benzamide] (GL9) that differed from its congener by the presence of an oxamide rather than succinamide moiety was tentatively explained by the greater neg. charges assocd. with the carbonyl groups of its oxamide residue. The higher potency of N,N'-terephthalylbis[(o-amino)[2-(diethylamino)ethyl]benzamide] (GL22) in which an arom. ring is inserted between two benzamide moieties in para,para' rather than ortho,ortho' positions might be due to a planar conformation facilitating membrane insertion. In conclusion, correspondence anal. is a neat way of highlighting similarities and differences in mol. properties (QSAR descriptors and potency). Therapeutic doses of many classes of drug might interfere with the regulatory domain of PKC.alpha. if, like the test-compds., they have basic side-chain(s), high hydrophobicity, low hydration energy, a planar conformation and/or a highly charged reactive (oxamide) moiety. The compds. thus prepd. were tested against tamoxifen and analogs thereof.

IT **220583-08-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological**

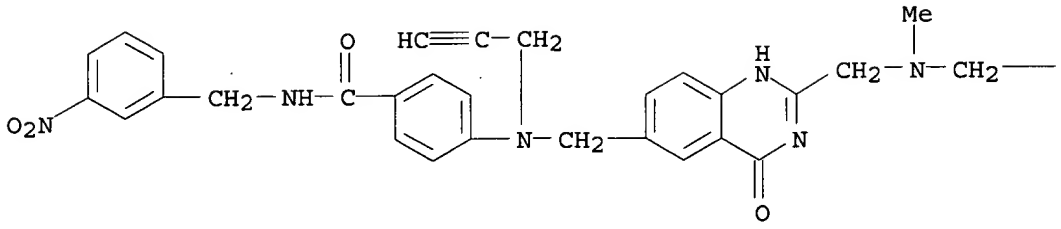
study); PREP (Preparation)
(prepn. and protein kinase C-inhibiting activity of benzamide derivs.)
RN 220583-08-4 CAPLUS
CN 4(3H)-Quinazolinone, 2,3-bis[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:31844 CAPLUS
DOCUMENT NUMBER: 124:176006
TITLE: Quinazoline Antifolate Thymidylate Synthase Inhibitors: Lipophilic Analogs with Modification to the C2-Methyl Substituent
AUTHOR(S): Hennequin, Laurent F.; Boyle, F. Thomas; Wardleworth, J. Michael; Marsham, Peter R.; Kimbell, Rosemary; Jackman, Ann L.
CORPORATE SOURCE: Centre de recherches, Zeneca Pharma, Reims, 51064, Fr.
SOURCE: Journal of Medicinal Chemistry (1996), 39(3), 695-704
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Modification of the potent thymidylate synthase (TS) inhibitor 1-[[N-[4-[N-[(3,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-N-prop-2-ynylamino]benzoyl]amino]methyl]-3-nitrobenzene (1) has led to the synthesis of quinazolinone antifolates bearing functionalized alkyl substituents at C2. A general synthetic route was developed which involved coupling the appropriate 1-[[N-[4-(alkylamino)benzoyl]amino]methyl]-3-nitrobenzene with a 6-(bromomethyl)-2-(acetoxymethyl)-3,4-dihydro-4-oxoquinazoline. Good TS (IC50 <1 .mu.M) and growth inhibition (IC50 0.1-1 .mu.M) were found with most of these new antifolates. TS inhibitors in this series do not apparently require the reduced folate carrier (RFC) for cell entry (they most likely penetrate the cell membrane by passive diffusion) and are not polyglutamated. N, O, S, Cl, and CN as well as large amino and mercapto substituents were tolerated by the enzyme. The simultaneous incorporation of 7-Me and 2'-F substituents gave a series of highly potent agents inhibiting cell growth at concns. <1 .mu.M. The incorporation of suitable C2 substituents has overcome the decrease in aq. soly. obsd. with lipophilic quinazoline antifolates.
IT **173952-10-8P 173952-14-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazoline antifolate thymidylate synthase inhibitors)
RN 173952-10-8 CAPLUS
CN Benzamide, 4-[[[2-[[[2-(dimethylamino)ethyl]methylamino]methyl]-1,4-dihydro-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

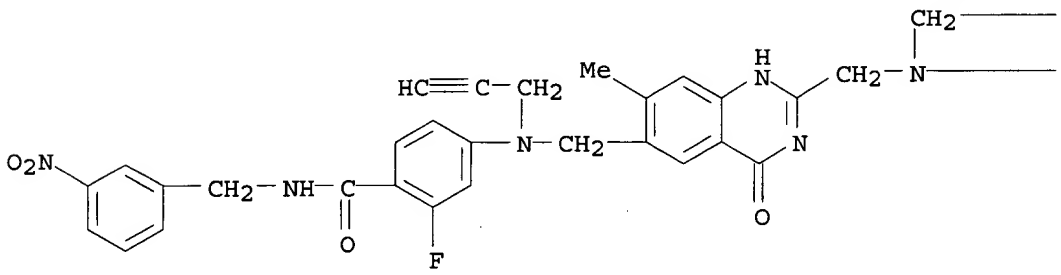


PAGE 1-B

— CH_2— NMe_2

RN 173952-14-2 CAPLUS
CN Benzamide, 4-[[[2-[[bis(2-hydroxyethyl)amino]methyl]-1,4-dihydro-7-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-2-fluoro-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



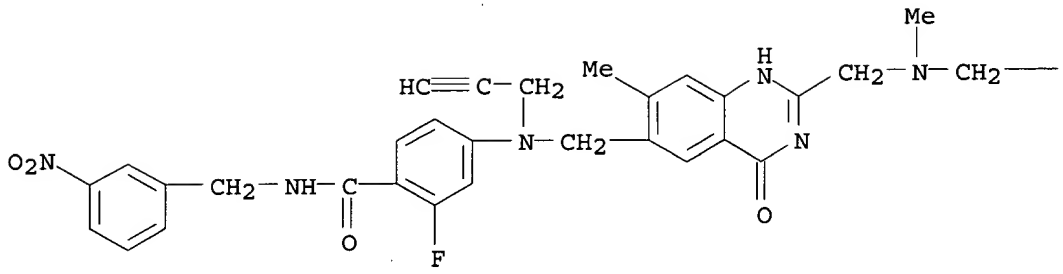
PAGE 1-B

—— CH_2— OH

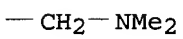
— CH_2— CH_2— OH

IT **173952-30-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of quinazoline antifolate thymidylate synthase inhibitors)
RN 173952-30-2 CAPLUS
CN Benzamide, 4-[[[2-[[[2-(dimethylamino)ethyl]methylamino]methyl]-1,4-dihydro-7-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-2-fluoro-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

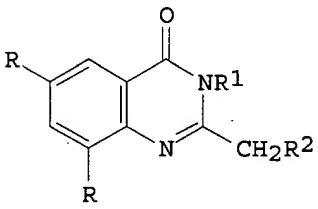
PAGE 1-A



PAGE 1-B



L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:497416 CAPLUS
DOCUMENT NUMBER: 105:97416
TITLE: Synthesis and biological activities of certain derivatives of 3-aryl-4(3H)-quinazolinones. Part II
AUTHOR(S): Rao, A. Devender; Shankar, C. Ravi; Reddy, P. Bhaghavan; Reddy, V. Malla
CORPORATE SOURCE: Coll. Pharm. Sci., Kakatiya Univ., Warangal, 506 009, India
SOURCE: Journal of the Indian Chemical Society (1985), 62(3), 234-7
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:97416
GI

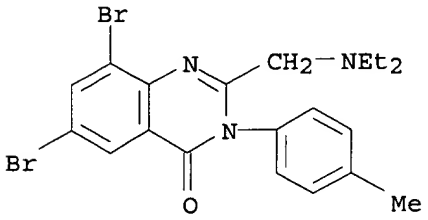


I

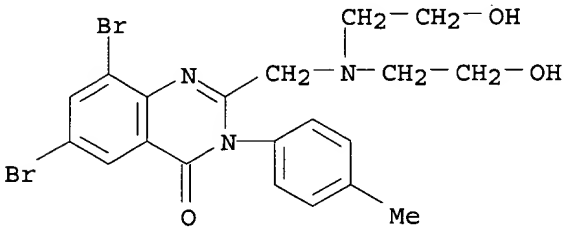
AB 3-Arylquinazolinones I [R = H, Br; R1 = 4-MeC6H4, 2-MeC6H4, 4-O2NC6H4, 2-O2NC6H4; R2 = NMe2, NEt2, N(CH2CH2OH)2, piperidino, morpholino, 4-AcNHC6H4SO2, etc.] were prepd. from I (R2 = Cl), which were obtained by cyclocondensation of N-chloroacetylanthranilic acids with R1NH2 in the presence of PCl3. I are antifungal agents, I (R = H, R1 = 4-O2NC6H4, R2 = 4-AcNHC6H4SO2) giving total control of Curvularia lunata and Fusarium oxysporum at 800 .mu.g/mL.

IT **103952-95-0P 103952-96-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antifungal activity of)

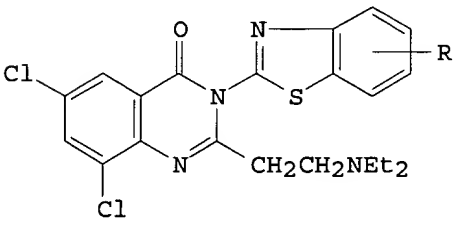
RN 103952-95-0 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[(diethylamino)methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 103952-96-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[bis(2-hydroxyethyl)amino]methyl]-6,8-dibromo-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:536974 CAPLUS
DOCUMENT NUMBER: 103:136974
TITLE: Hydrochlorides of 6,8-dichloro-2.beta.-diethylaminoethyl-3-[(substituted)benzothiazol-2'-yl]-4(3H)quinazolinones as potential fungicides
AUTHOR(S): Chaurasia, M. R.; Sharma, Ajay K.
CORPORATE SOURCE: Dep. Chem., DAV (PG) Coll., Dehra Dun, India
SOURCE: Journal of Nepal Chemical Society (1982), 2, 1-8
CODEN: JNCSEM; ISSN: 1012-8611
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



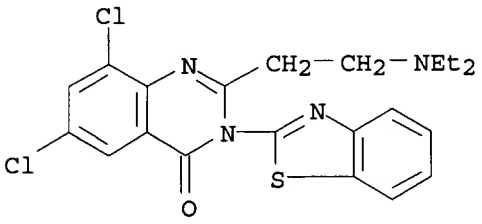
I

AB The title quinazolinones I (R = H, Me, Cl, OMe, OEt) were prepd. by treating 2-aminobenzothiazoles with 3,5-dichloroacetylanthranilic acid followed by refluxing the product with paraformaldehyde and Et2NH.HCl. The fungicidal activity of I against Aspergillus fumigatus and Alternaria

alternata depended on their structure. Best inhibition of Alternaria growth was obtained with I (R = 4-Cl) [98256-90-7] and I (R = 6-OMe) [98256-92-9]; with Aspergillus highest inhibition was obtained with I (R = 5-Cl) [98256-91-8].

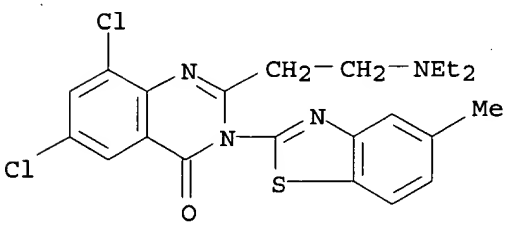
IT **98256-83-8P 98256-84-9P 98256-85-0P**
98256-86-1P 98256-87-2P 98256-88-3P
98256-90-7P 98256-91-8P 98256-92-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and fungicidal activity of, structure in relation to)

RN 98256-83-8 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-benzothiazolyl)-6,8-dichloro-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



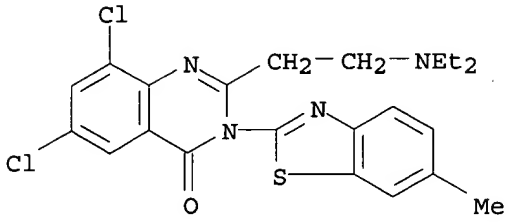
O HCl

RN 98256-84-9 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(5-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



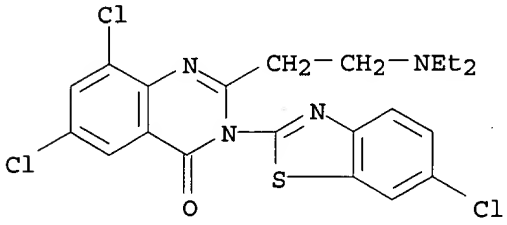
O HCl

RN 98256-85-0 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(6-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



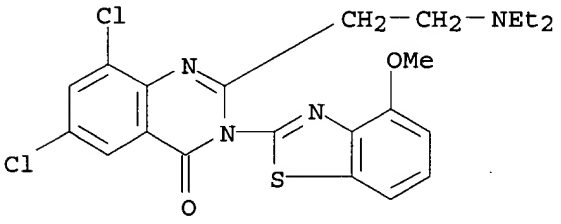
O HCl

RN 98256-86-1 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-3-(6-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



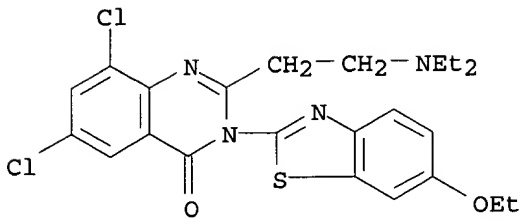
O HCl

RN 98256-87-2 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(4-methoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



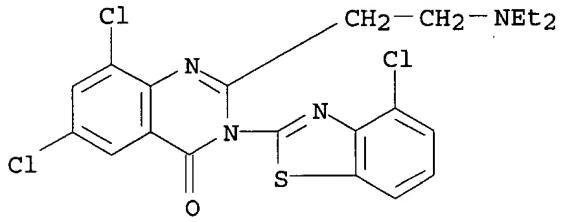
O HCl

RN 98256-88-3 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(6-ethoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



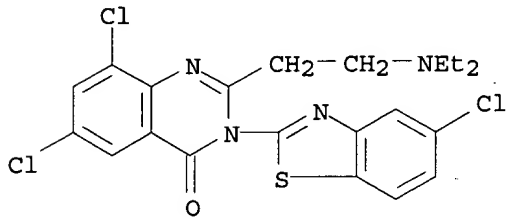
O HCl

RN 98256-90-7 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-3-(4-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



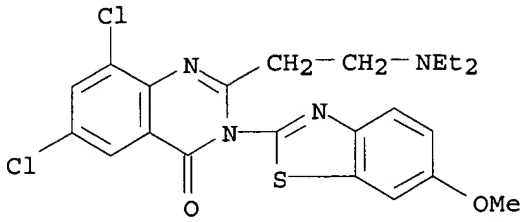
O HCl

RN 98256-91-8 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-3-(5-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



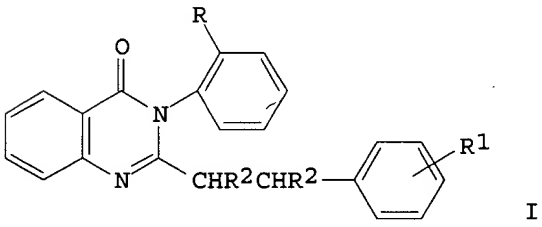
O HCl

RN 98256-92-9 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(6-methoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



O HCl

L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:45864 CAPLUS
DOCUMENT NUMBER: 102:45864
TITLE: Synthesis and antiinflammatory activity of 2-substituted-phenethyl-3-substituted-phenyl-4(3H)-quinazolinones
AUTHOR(S): Singh, Inder Pal; Saxena, A. K.; Sinha, J. N.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(6), 592-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:45864
GI

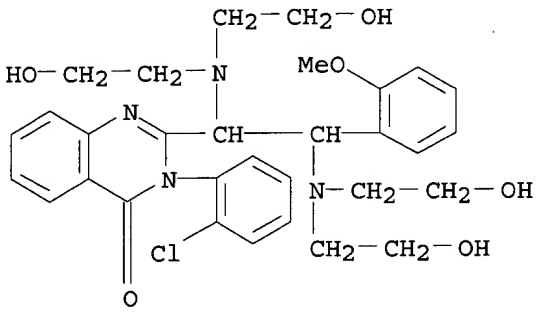


I

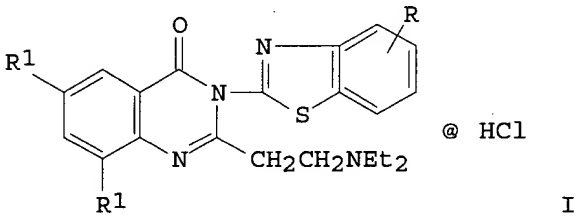
AB Quinazolinones I (R = Cl, Me; R1 = 2-OMe, 3-Cl, 2-OH; R2 = N-Phenylpiperazino, homopiperidino, 2-methylpiperidino, morpholino, 4-ClC6H4CH2CH2NH, N(CH2CH2OH)2, piperidino, N-(2-chlorophenyl)piperazino] have been prepd. by the bromination of 2-styrylquinazolinones to yield .alpha.,.beta.-dibromophenethylquinazolinones which undergo condensation with amines to gives I. 2-(.alpha.-Bromo-o,.beta.-dimethoxyphenethyl)-3-(o-chlorophenyl)-4(3H)-quinazolinone has been obtained by the action of MeOH on the dibromo analog. All I show significant antiinflammatory activity. I (R = Cl, R1 = 3-Cl, R2 = N-phenylpiperazino) is the most potent.

IT **93444-52-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antiinflammatory activity of)
RN 93444-52-1 CAPLUS

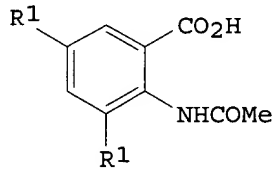
CN 4(3H)-Quinazolinone, 2-[1,2-bis[bis(2-hydroxyethyl)amino]-2-(2-methoxyphenyl)ethyl]-3-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:6681 CAPLUS
DOCUMENT NUMBER: 96:6681
TITLE: Synthesis of some new 4(3H)-quinazolinones as potential fungicides
AUTHOR(S): Chaurasia, M. R.; Sharma, Surendra K.; Kumar, Sunil
CORPORATE SOURCE: Dep. Chem., D.A.V. Coll., Dehra Dun, 248 001, India
SOURCE: Current Science (1981), 50(19), 841-3
CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



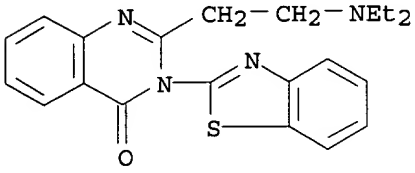
II

AB Benzothiazolylquinazolines I (R = H, 4-, 5-, 6-Me, 4-, 5-, 6-Cl, 6-MeO, 6-EtO, R1 = H, Br) were prepd. in 32-71% yields by cyclocondensation of II in the presence of an appropriate 2-aminobenzimidazole to give intermediates (no data) which were condensed with CH2O and Et2NH.HCl. I inhibited Aspergillus niger and Draschlera australiensis.

IT **80144-66-7P 80144-67-8P 80144-68-9P**
80144-69-0P 80144-70-3P 80144-71-4P
80144-72-5P 80144-73-6P 80144-74-7P
80144-75-8P 80144-76-9P 80144-77-0P
80144-78-1P 80144-79-2P 80144-80-5P
80144-81-6P 80144-82-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological**

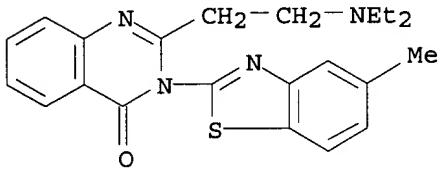
study); PREP (Preparation)
(prepn. and fungicidal activity of)

RN 80144-66-7 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



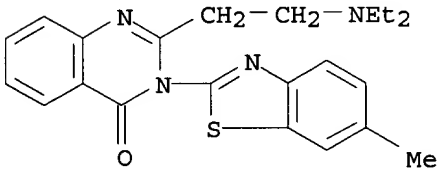
○ HCl

RN 80144-67-8 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-(diethylamino)ethyl]-3-(5-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



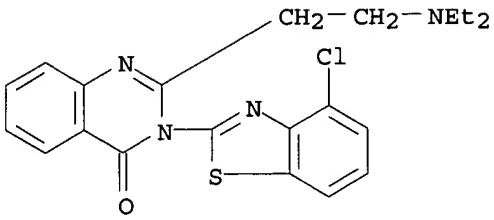
○ HCl

RN 80144-68-9 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-(diethylamino)ethyl]-3-(6-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



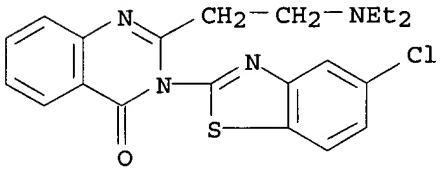
○ HCl

RN 80144-69-0 CAPLUS
CN 4(3H)-Quinazolinone, 3-(4-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



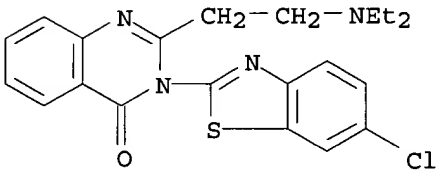
O HCl

RN 80144-70-3 CAPLUS
CN 4(3H)-Quinazolinone, 3-(5-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



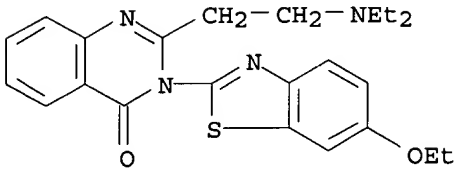
O HCl

RN 80144-71-4 CAPLUS
CN 4(3H)-Quinazolinone, 3-(6-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



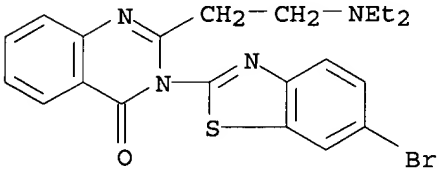
O HCl

RN 80144-72-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-(diethylamino)ethyl]-3-(6-ethoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



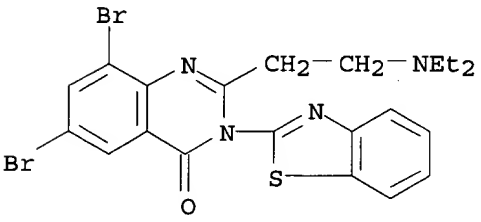
O HCl

RN 80144-73-6 CAPLUS
CN 4(3H)-Quinazolinone, 3-(6-bromo-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



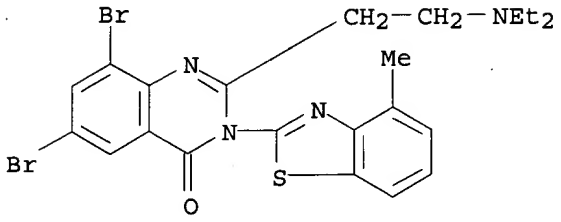
O HCl

RN 80144-74-7 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-benzothiazolyl)-6,8-dibromo-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



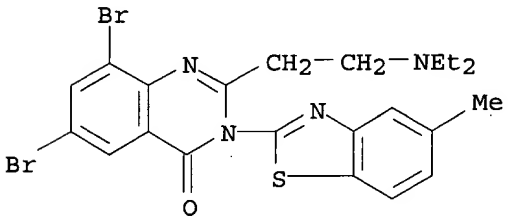
O HCl

RN 80144-75-8 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(4-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



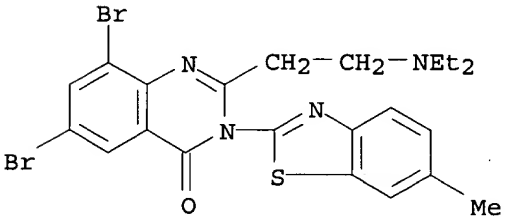
O HCl

RN 80144-76-9 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(5-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



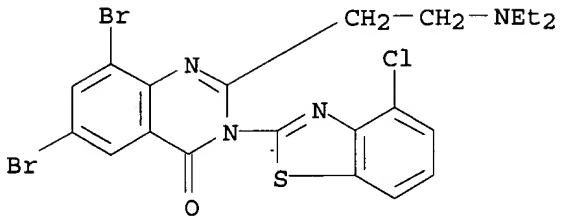
O HCl

RN 80144-77-0 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(6-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



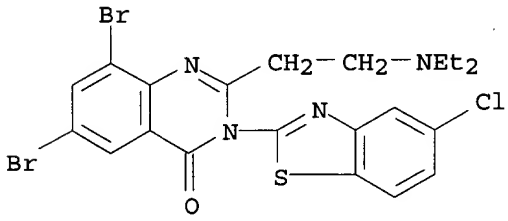
O HCl

RN 80144-78-1 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-3-(4-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



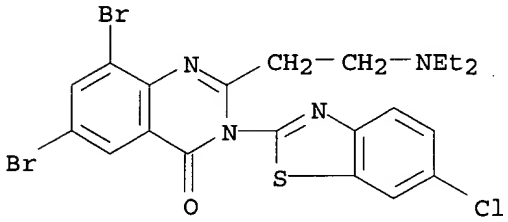
O HCl

RN 80144-79-2 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-3-(5-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



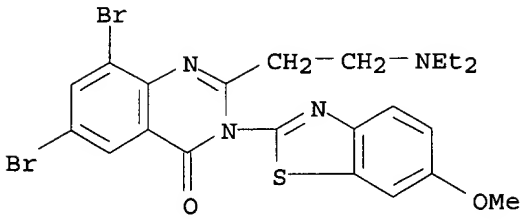
O HCl

RN 80144-80-5 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-3-(6-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



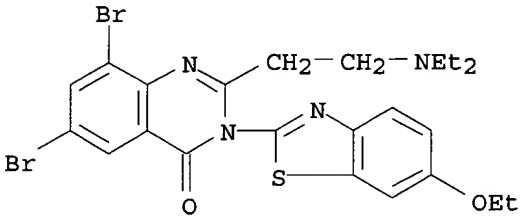
O HCl

RN 80144-81-6 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(6-methoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



O HCl

RN 80144-82-7 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(6-ethoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



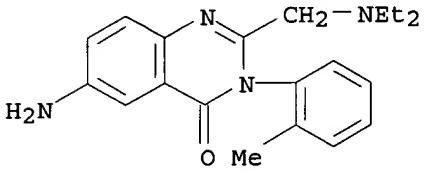
O HCl

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:426374 CAPLUS
DOCUMENT NUMBER: 93:26374
TITLE: Studies on biologically active halogenated compounds. II. Chemical modifications of 6-amino-2-fluoromethyl-3-[o-tolyl]-4[3H]-quinazolinone and the CNS depressant activities of related compounds
AUTHOR(S): Tani, Junichi; Yamada, Yoshihisa; Ochiai, Takashi; Ishida, Ryuichi; Inoue, Ichizo; Oine, Toyonari
CORPORATE SOURCE: Res. Lab., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1979), 27(11), 2675-87
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A no. of derivs. of 6-amino-2-fluorometyl-3-(o-tolyl)-4(3H)-quinazolinone (6-aminomethaqualone), a potent muscle relaxant, were prepd. and screened in terms of the loss of righting reflex test and the rotating rod test in mice. Several derivs. with addnl. F substitution or with repositioning of the F atom exhibited high activities. Other structural modification included acylation, carbamoylation, and alkoxycarbonylation of the 6-amino group, hydroxylation at the 3-tolyl group, and replacement of the F atom at the 2-fluoromethyl group by O, N and S nucleophiles; these modification all resulted in loss of activity.

IT **73832-37-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)

(prepn. and antidepressant activity of)
RN 73832-37-8 CAPLUS
CN 4(3H)-Quinazolinone, 6-amino-2-[(diethylamino)methyl]-3-(2-methylphenyl)-
(9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 10:25:34 ON 24 APR 2003)

FILE 'REGISTRY' ENTERED AT 10:25:42 ON 24 APR 2003
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 32530 S L1 FUL

FILE 'CAPLUS' ENTERED AT 10:27:02 ON 24 APR 2003
L4 21 S L3/BIOL

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	101.15	249.91
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.67	-13.67

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